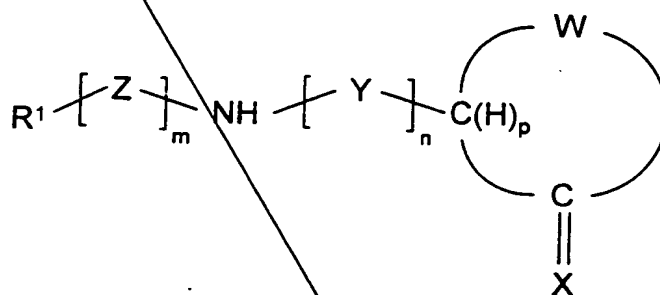


WHAT IS CLAIMED IS:

1. A method for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of  $\beta$ -amyloid peptide wherein said compounds are represented by formula I:



I

25 wherein  $R^1$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

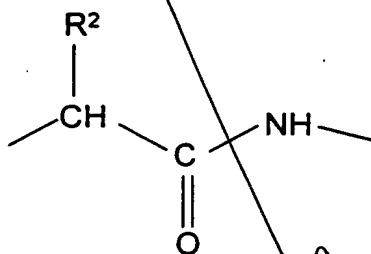
30  $W$ , together with  $-C(H)_pC(=X)-$ , forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-

35

alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R<sup>4</sup>, -NHSO<sub>2</sub>R<sup>4</sup>, -C(O)NH<sub>2</sub>, -C(O)NHR<sup>4</sup>, -C(O)NR<sup>4</sup>R<sup>4</sup>, -S(O)R<sup>4</sup>, -S(O)<sub>2</sub>R<sup>4</sup>, -S(O)<sub>2</sub>NHR<sup>4</sup> and -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

Y is represented by the formula:



wherein each R<sup>2</sup> is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula -T-CX'X''C(O)- where T is selected from the group consisting of a bond covalently linking R<sup>1</sup> to -CX'X''-, oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and -C(H)<sub>p</sub>C(=X)- is unsaturated at the carbon atom of ring attachment to Y

and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to Y,

with the following provisos:

5 A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2-(S)-indanol group;

B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

10 C. when  $R^1$  is phenyl, Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

D. when  $R^1$  is phenyl, Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a  $\epsilon$ -caprolactam group;

15 E. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an N-methylcaprolactam group;

F. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

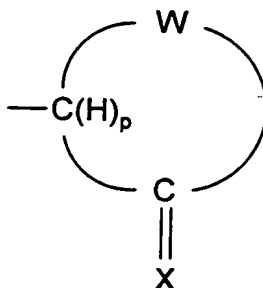
G. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

25 H. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

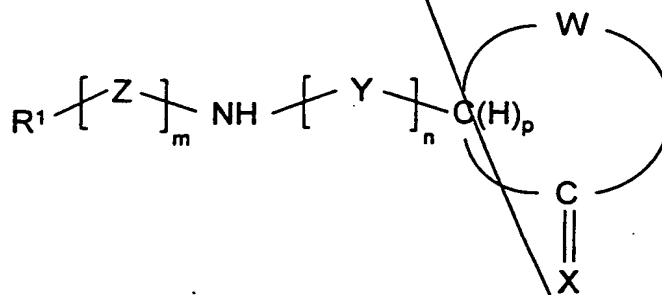
J. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ , Z is  $-CH(OH)C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH^2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

5 K. when  $m$  is 1 and  $n$  is 1, then



20 does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

2. A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula I:

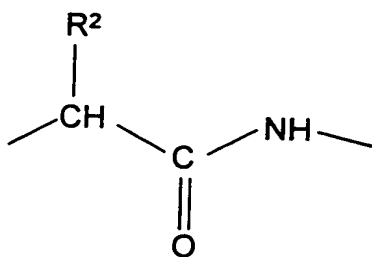


wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

5 W, together with -C(H)<sub>p</sub>C(=X)-, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino, -NHC(O)R<sup>4</sup>, -NHSO<sub>2</sub>R<sup>4</sup>, -C(O)NH<sub>2</sub>, -C(O)NHR<sup>4</sup>, -C(O)NR<sup>4</sup>R<sup>4</sup>, -S(O)R<sup>4</sup>, -S(O)<sub>2</sub>R<sup>4</sup>, -S(O)<sub>2</sub>NHR<sup>4</sup> and -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

Y is represented by the formula:



wherein each  $R^2$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

5 Z is represented by the formula  $-T-CX'X''C(O)-$  where T is selected from the group consisting of a bond covalently linking  $R^1$  to  $-CX'X''-$ , oxygen, sulfur,  $-NR^5$  where  $R^5$  is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

10 m is an integer equal to 0 or 1;

n is an integer equal to 0, 1 or 2;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and  $-C(H)_pC(=X)-$  is unsaturated at the carbon atom of ring attachment to Y and when p is one, the ring is saturated at the carbon atom of ring attachment to Y,

15 with the following provisos:

A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-CH_3$ , Z is  $-CH_2C(O)-$ , m is 1, n is 1, and p is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2-(S)-indanol group;

20 B. when  $R^1$  is phenyl,  $R^2$  is  $-CH_3$ , Z is  $-CH_2C(O)-$ , m is 1, n is 1, and p is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when  $R^1$  is phenyl, Z is  $-CH_2C(O)-$ , m is 1, n is 0, and p is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

25 D. when  $R^1$  is phenyl, Z is  $-CH_2C(O)-$ , m is 1, n is 0, and p is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a  $\epsilon$ -caprolactam group;

E. when  $R^1$  is cyclopropyl,  $R^2$  is  $-CH_3$ , Z is  $-CH_2C(O)-$ , m is 1, n is 1, and p is 1, then W, together with  $>CH$  and  $>C=X$ , does not form an N-methylcaprolactam group;

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F. when  $R^1$  is 4-chlorobenzoyl- $CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)$ -,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

5 G. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)$ -,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

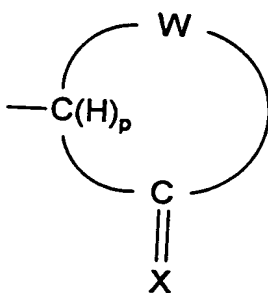
H. when  $R^1$  is  $CH_3OC(O)CH_2$ -,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)$ -,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-(*t*-butyl $C(O)CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

10 I. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2$ -, 4- $HOCH_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $CH_3S$ -,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)$ -,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

15 J. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH(OH)C(O)$ -,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

K. when  $m$  is 1 and  $n$  is 1, then

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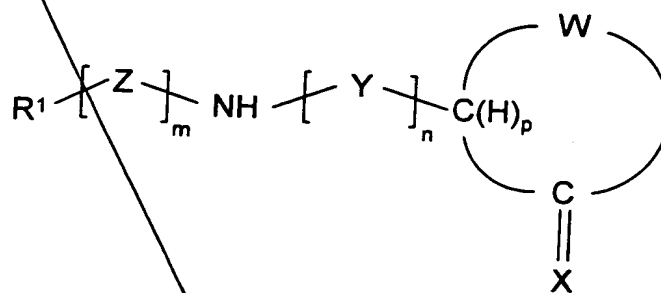


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35 does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

3 A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula I:



wherein  $R^1$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

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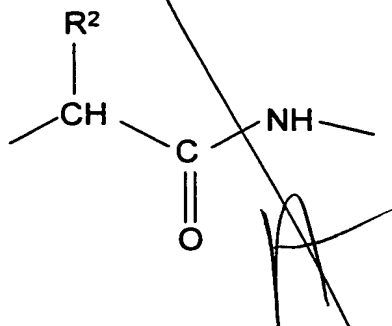
W, together with  $-C(H)_pC(=X)-$ , forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-



alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted  
alkylamino, N,N-disubstituted alkylamino, -NHC(O)R<sup>4</sup>, -NHSO<sub>2</sub>R<sup>4</sup>, -C(O)NH<sub>2</sub>,  
-C(O)NHR<sup>4</sup>, -C(O)NR<sup>4</sup>R<sup>4</sup>, -S(O)R<sup>4</sup>, -S(O)<sub>2</sub>R<sup>4</sup>, -S(O)<sub>2</sub>NHR<sup>4</sup> and -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>  
where each R<sup>4</sup> is independently selected from the group consisting of alkyl,  
5 substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=O), thiooxo (=S),  
hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

Y is represented by the formula:



wherein each R<sup>2</sup> is independently selected from the group consisting of alkyl,  
substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  
cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula -T-CX'X''C(O)- where T is selected from  
25 the group consisting of a bond covalently linking R<sup>1</sup> to -CX'X''-, oxygen,  
sulfur, -NR<sup>5</sup> where R<sup>5</sup> is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo  
group;

30 *m* is an integer equal to 0 or 1;

*n* is an integer equal to 0, 1 or 2;

*p* is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by  
W and -C(H)<sub>*p*</sub>C(=X)- is unsaturated at the carbon atom of ring attachment to Y

and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to Y,

with the following provisos:

5 A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2-(S)-indanol group;

B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

10 C. when  $R^1$  is phenyl, Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

D. when  $R^1$  is phenyl, Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a  $\epsilon$ -caprolactam group;

15 E. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an N-methylcaprolactam group;

F. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

G. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

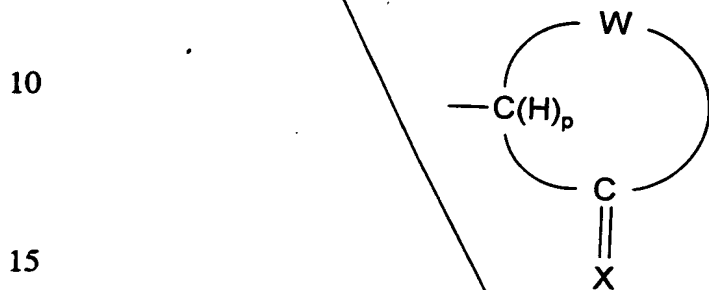
25 H. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-(*t*-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

30 I. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ , Z is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

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J. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ , Z is  $-CH(OH)C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then W, together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH_2$ -)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

5 K. when  $m$  is 1 and  $n$  is 1, then



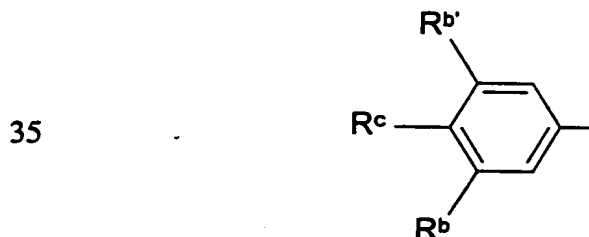
20 does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

4. A method according to any of Claims 1, 2 or 3 where, in formula I,  $m$  is zero.

25 5. A method according to Claim 4 wherein  $R^1$  is aryl or heteroaryl.

6. A method according to Claim 5 wherein  $R^1$  is selected from the group consisting of

- 30 (a) phenyl,  
(b) a substituted phenyl group of the formula:



wherein R<sup>c</sup> is selected from the group consisting of acyl, alkyl, alkoxy, alkylalkoxy, azido, cyano, halo, hydrogen, nitro, trihalomethyl, thioalkoxy, and wherein R<sup>b</sup> and R<sup>c</sup> are fused to form a heteroaryl or heterocyclic ring with the phenyl ring wherein the heteroaryl or heterocyclic ring contains from 3 to 8 atoms of which from 1 to 3 are heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur

R<sup>b</sup> and R<sup>b'</sup> are independently selected from the group consisting of hydrogen, halo, nitro, cyano, trihalomethyl, alkoxy, and thioalkoxy with the proviso that when R<sup>c</sup> is hydrogen, then R<sup>b</sup> and R<sup>b'</sup> are either both hydrogen or both substituents other than hydrogen,

(c) 2-naphthyl,

(d) 2-naphthyl substituted at the 4, 5, 6, 7 and/or 8 positions with 1 to 5 substituents selected from the group consisting alkyl, alkoxy, halo, cyano, nitro, trihalomethyl, thioalkoxy, aryl, and heteroaryl,

(e) heteroaryl, and

(f) substituted heteroaryl containing 1 to 3 substituents selected from the group consisting of alkyl, alkoxy, aryl, aryloxy, cyano, halo, nitro, heteroaryl, thioalkoxy, thioaryloxy provided that said substituents are not *ortho* to the heteroaryl attachment to the -NH group.

7. The method according to Claim 5 wherein R<sup>1</sup> is selected from the group consisting of mono-, di- and tri-substituted phenyl groups.

8. The method according to Claim 7 wherein R<sup>1</sup> is a disubstituted phenyl selected from the group consisting of 3,5-dichlorophenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)-phenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3-(trifluoromethyl)-4-chlorophenyl, 3-chloro-4-cyanophenyl, 3-chloro-4-iodophenyl, and 3,4-methylenedioxyphenyl.

9. The method according to Claim 7 wherein R<sup>1</sup> is a monosubstituted phenyl selected from the group consisting of 4-azidophenyl, 4-bromophenyl, 4-





4-fluorophenyl-C(O)CH<sub>2</sub>-, diphenylmethyl, phenoxyethyl, 3,4-  
methylenedioxyphenyl-CH<sub>2</sub>-, benzo[b]thiophen-3-yl, (CH<sub>3</sub>)<sub>3</sub>COC(O)NHCH<sub>2</sub>-,  
*trans*-styryl, H<sub>2</sub>NC(O)CH<sub>2</sub>CH<sub>2</sub>-, 2-trifluoromethylphenyl-C(O)CH<sub>2</sub>,  
φC(O)NHCH(φ)CH<sub>2</sub>-, mesityl, CH<sub>3</sub>CH(=NHOH)CH<sub>2</sub>-, 4-CH<sub>3</sub>-φ-  
5 NHC(O)CH<sub>2</sub>CH<sub>2</sub>-, φC(O)CH(φ)CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>CHC(O)NHCH(φ)-,  
CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>-, CH<sub>3</sub>OC(O)CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-, 2,2,2-trifluoroethyl,  
1-(trifluoromethyl)ethyl, 2-CH<sub>3</sub>-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl,  
φSO<sub>2</sub>CH<sub>2</sub>-, 3-cyclohexyl-*n*-propyl, CF<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- and *N*-pyrrolidinyl.

10 14. A method according to any of Claims 1, 2 or 3 where *n* is one or  
two, and each R<sup>2</sup> is independently selected from the group consisting of alkyl,  
substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

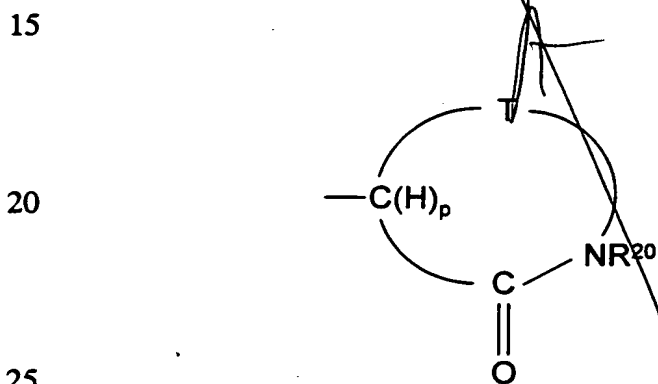
15 15. The method according to Claim 14 wherein R<sup>2</sup> is selected from the  
group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *iso*-butyl,  
*sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methyl-*n*-butyl, 6-fluoro-*n*-hexyl,  
phenyl, benzyl, cyclohexyl, cyclopentyl, cycloheptyl, allyl, *iso*-but-2-enyl,  
3-methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl,  
-CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, *p*-(phenyl)phenyl, *o*-fluorophenyl,  
20 *m*-fluorophenyl, *p*-fluorophenyl, *m*-methoxyphenyl, *p*-methoxyphenyl,  
phenethyl, benzyl, *m*-hydroxybenzyl, *p*-hydroxybenzyl, *p*-nitrobenzyl,  
*m*-trifluoromethylphenyl, *p*-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl,  
*p*-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl, *p*-(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl,  
*p*-(*N*-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-yl,  
25 -CH<sub>2</sub>-(3-tetrahydrofuranyl), -CH<sub>2</sub>-thiophen-2-yl, -CH<sub>2</sub>(1-methyl)cyclopropyl,  
-CH<sub>2</sub>-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, -CH<sub>2</sub>-C(O)O-*t*-butyl,  
-CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methylcyclopentyl, cyclohex-2-enyl,  
-CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>,  
-CH<sub>2</sub>CH=CHCH<sub>3</sub> (*cis* and *trans*), -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>, -CH(O-*t*-butyl)CH<sub>3</sub>,  
30 -CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>-pyridyl, pyridyl,

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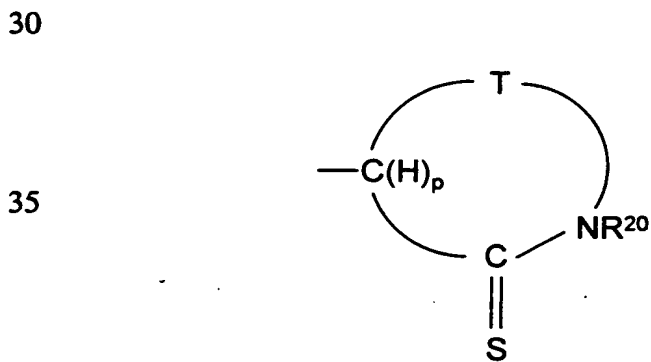
5 -CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(N-morpholino), *p*-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, thien-2-yl, thien-3-yl, and the like.

10 16. A method according to any of Claims 1, 2 or 3 wherein the cyclic groups defined by W and -C(H)<sub>p</sub>C(=X)- is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, heterocyclic and cycloalkyl groups.

15 17. The method according to Claim 16 wherein the cyclic group defined by W and -C(H)<sub>p</sub>C(=X)-, forms a lactam or thiolactam ring of the formula:



or





wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

18. The method according to Claim 17 wherein the lactam ring is selected from the group consisting of

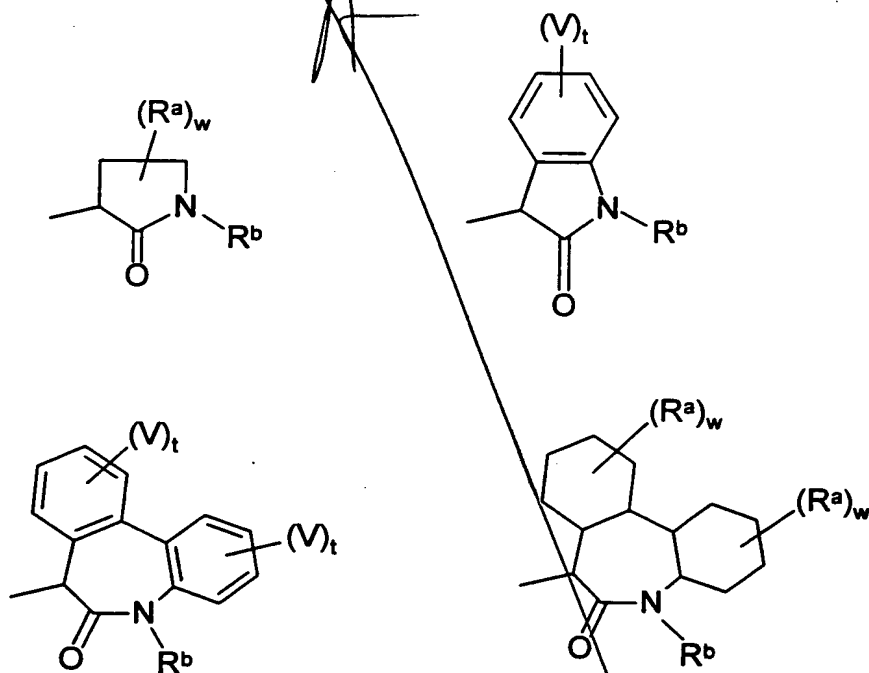
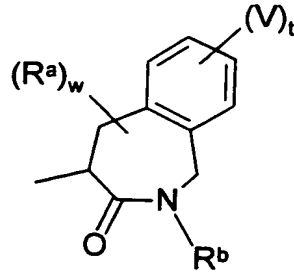
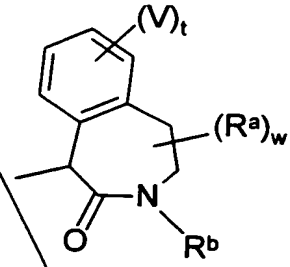


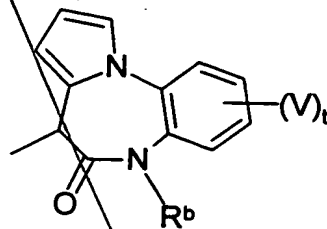
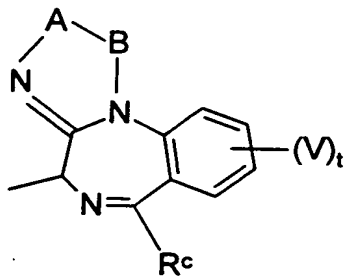
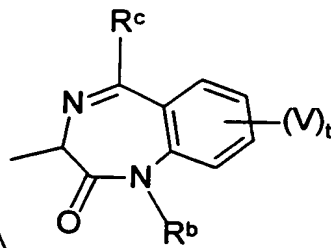
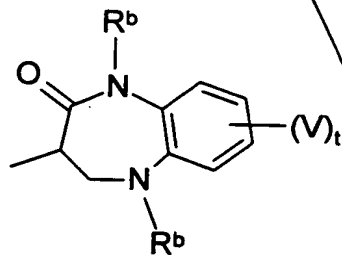
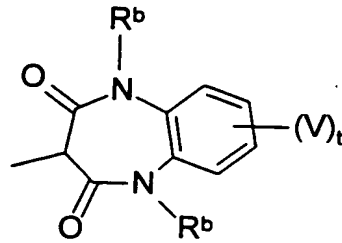
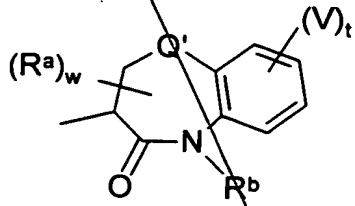


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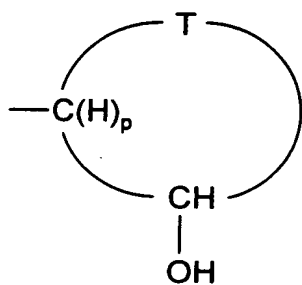


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wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R<sup>a</sup> is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; R<sup>b</sup> is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, heteroaryl, heterocyclic, and the like; R<sup>c</sup> is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, heterocyclic, cycloalkyl, and substituted cycloalkyl; *t* is an integer from 0 to 4; *t'* is an integer from 0 to 3; and *w* is an integer from 0 to 3.

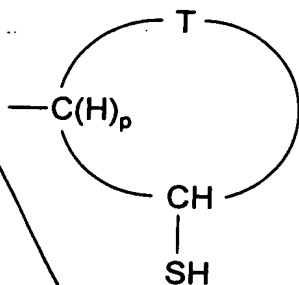
19. The method according to Claim 16 wherein the cyclic group defined by W, together with -C(H)<sub>p</sub>C(=X)- is a ring of the formula:



or

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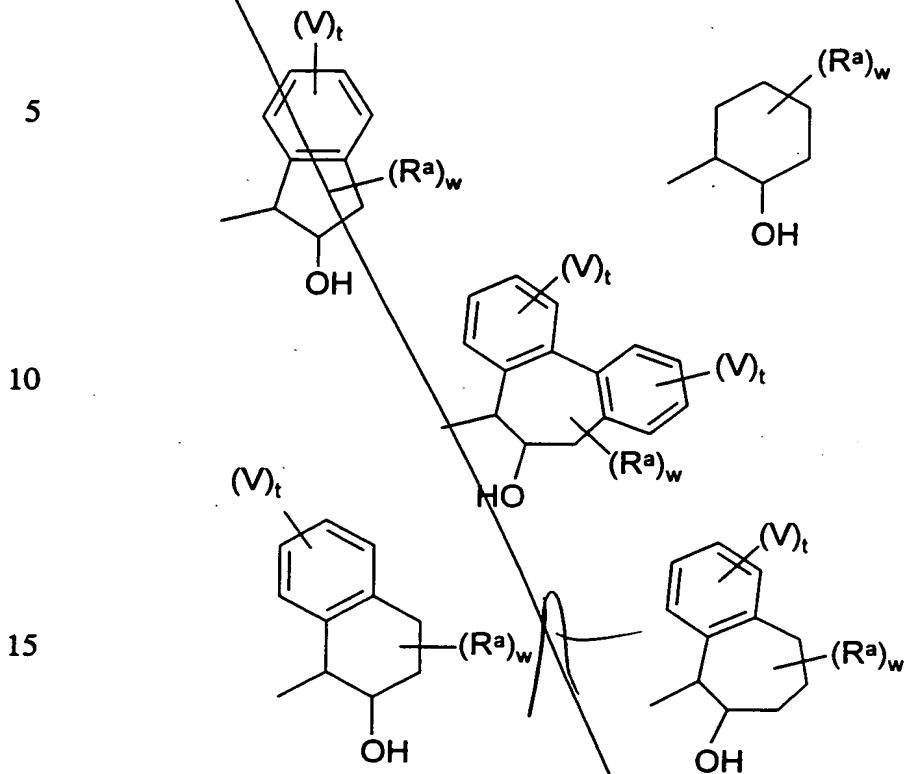
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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

20. The method according to Claim 19 wherein the alcohol or thiol substituted groups is selected from the group consisting of



wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like;  $R^a$  is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like;  $t$  is an integer from 0 to 4; and  $w$  is an integer from 0 to 3.

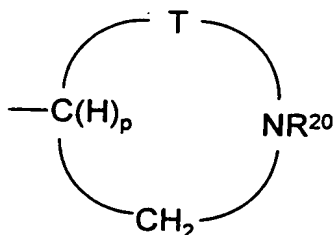
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21. The method according to Claim 16 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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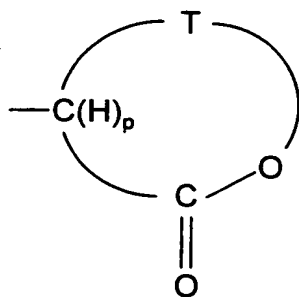
wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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22. The method according to Claim 16 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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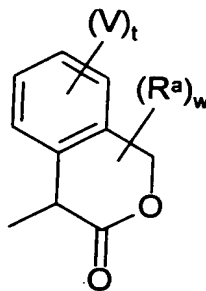
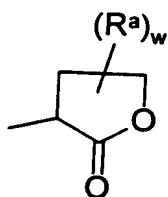


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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

23. The method according to Claim 22 wherein the compound of formula I is selected from the group consisting of



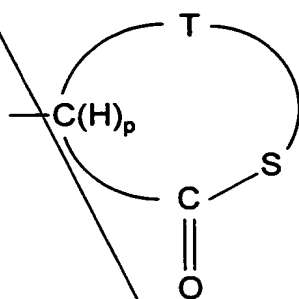
wherein each  $V$  is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like;  $R^a$  is selected



from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like;  $t$  is an integer from 0 to 4; and  $w$  is an integer from 0 to 3.

- 5        24.    The method according to Claim 16 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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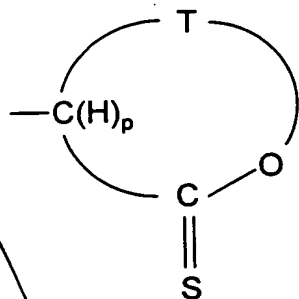
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wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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35        25.    The method according to Claim 16 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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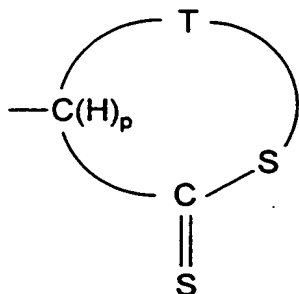
wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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26. The method according to Claim 16 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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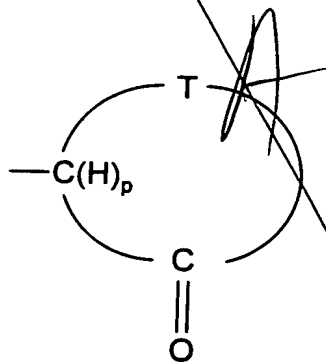
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wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

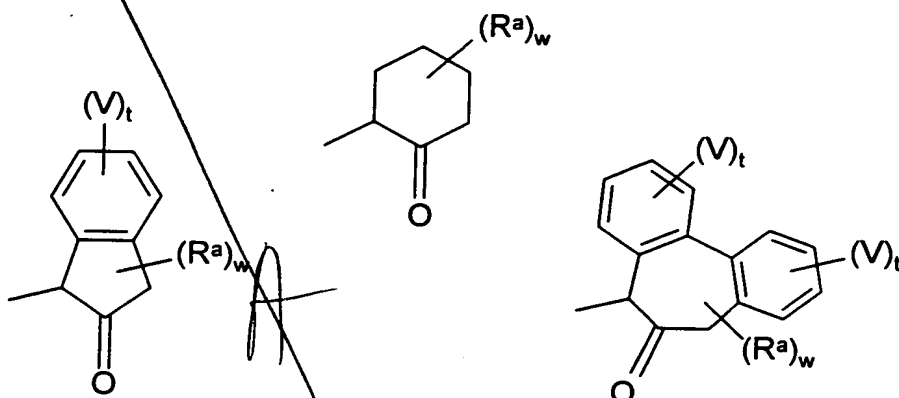
27. The method according to Claim 16 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and

substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

28. The method according to Claim 27 wherein the compound of formula I is selected from the group consisting of:

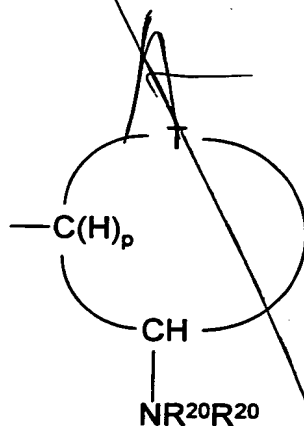


29. The method according to Claim 16 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

31. The method according to Claim 16 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the

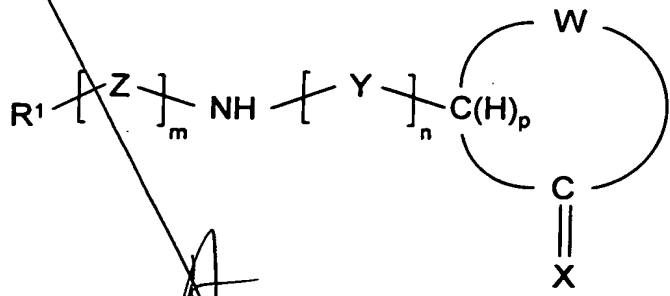
proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and q is an integer of from 1 to 3.

5           32.    A pharmaceutical composition comprising a pharmaceutically inert carrier and a pharmaceutically effective amount of a compound of formula I:

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wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

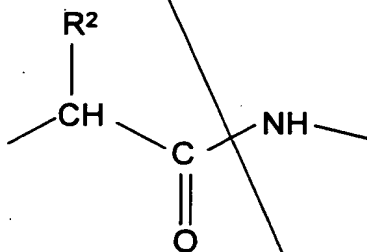
W, together with -C(H)<sub>p</sub>C(=X)-, forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted

alkylamino, N,N-disubstituted alkylamino,  $-\text{NHC}(\text{O})\text{R}^4$ ,  $-\text{NHSO}_2\text{R}^4$ ,  $-\text{C}(\text{O})\text{NH}_2$ ,  
 $-\text{C}(\text{O})\text{NHR}^4$ ,  $-\text{C}(\text{O})\text{NR}^4\text{R}^4$ ,  $-\text{S}(\text{O})\text{R}^4$ ,  $-\text{S}(\text{O})_2\text{R}^4$ ,  $-\text{S}(\text{O})_2\text{NHR}^4$  and  $-\text{S}(\text{O})_2\text{NR}^4\text{R}^4$   
where each  $\text{R}^4$  is independently selected from the group consisting of alkyl,  
substituted alkyl, or aryl;

5 X is selected from the group consisting of oxo ( $=\text{O}$ ), thiooxo ( $=\text{S}$ ),  
hydroxyl ( $-\text{H}$ ,  $-\text{OH}$ ), thiol ( $\text{H}$ ,  $-\text{SH}$ ) and hydro ( $\text{H}$ ,  $\text{H}$ );

Y is represented by the formula:

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20 wherein each  $\text{R}^2$  is independently selected from the group consisting of alkyl,  
substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  
cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula  $-\text{T}-\text{CX}'\text{X}''\text{C}(\text{O})-$  where T is selected from  
the group consisting of a bond covalently linking  $\text{R}^1$  to  $-\text{CX}'\text{X}''-$ , oxygen,  
25 sulfur,  $-\text{NR}^5$  where  $\text{R}^5$  is hydrogen, acyl, alkyl, aryl or heteroaryl group;

$\text{X}'$  is hydrogen, hydroxy or fluoro,

$\text{X}''$  is hydrogen, hydroxy or fluoro, or  $\text{X}'$  and  $\text{X}''$  together form an oxo  
group;

$m$  is an integer equal to 0 or 1;

30  $n$  is an integer equal to 0, 1 or 2;

$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by  
W and  $-\text{C}(\text{H})_p\text{C}(=\text{X})-$  is unsaturated at the carbon atom of ring attachment to Y  
and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment  
to Y,

35 with the following provisos:



A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a 2-(S)-indanol group;

5 B. when  $R^1$  is phenyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when  $R^1$  is phenyl,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

10 D. when  $R^1$  is phenyl,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a  $\epsilon$ -caprolactam group;

E. when  $R^1$  is cyclopropyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an N-methylcaprolactam group;

15 F. when  $R^1$  is 4-chlorobenzoyl- $CH_2-$ ,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

G. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

20 H. when  $R^1$  is  $CH_3OC(O)CH_2-$ ,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form an 2,3-dihydro-1-(*t*-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $CH_3OC(O)CH_2-$ , 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $CH_3S-$ ,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH_2C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not form a 2,3-dihydro-1-(*N,N*-diethylamino- $CH_2CH_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

30 J. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-CH_3$ ,  $Z$  is  $-CH(OH)C(O)-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>CH$  and  $>C=X$ , does not

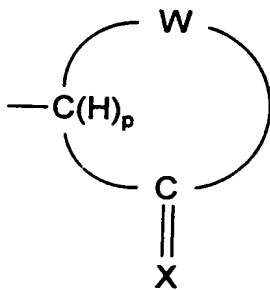
form a 2,3-dihydro-1-(*N,N*-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

K. when *m* is 1 and *n* is 1, then

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does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

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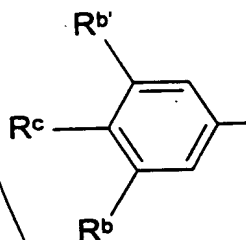
34. The pharmaceutical composition according to Claim 33 wherein R<sup>1</sup> is aryl or heteroaryl.

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35. The pharmaceutical composition according to Claim 34 wherein R<sup>1</sup> is selected from the group consisting of  
(a) phenyl,

(b) a substituted phenyl group of the formula:

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wherein R<sup>c</sup> is selected from the group consisting of acyl, alkyl, alkoxy, alkylalkoxy, azido, cyano, halo, hydrogen, nitro, trihalomethyl, thioalkoxy, and wherein R<sup>b</sup> and R<sup>c</sup> are fused to form a heteroaryl or heterocyclic ring with the phenyl ring wherein the heteroaryl or heterocyclic ring contains from 3 to 8 atoms of which from 1 to 3 are heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur

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R<sup>b</sup> and R<sup>b'</sup> are independently selected from the group consisting of hydrogen, halo, nitro, cyano, trihalomethyl, alkoxy, and thioalkoxy with the proviso that when R<sup>c</sup> is hydrogen, then R<sup>b</sup> and R<sup>b'</sup> are either both hydrogen or both substituents other than hydrogen,

(c) 2-naphthyl,

25

(d) 2-naphthyl substituted at the 4, 5, 6, 7 and/or 8 positions with 1 to 5 substituents selected from the group consisting alkyl, alkoxy, halo, cyano, nitro, trihalomethyl, thioalkoxy, aryl, and heteroaryl,

(e) heteroaryl, and

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(f) substituted heteroaryl containing 1 to 3 substituents selected from the group consisting of alkyl, alkoxy, aryl, aryloxy, cyano, halo, nitro, heteroaryl, thioalkoxy, thioaryloxy provided that said substituents are not *ortho* to the heteroaryl attachment to the -NH group.

36. The pharmaceutical composition according to Claim 32 wherein R<sup>1</sup> is selected from the group consisting of mono-, di- and tri-substituted phenyl groups.

5 37. The pharmaceutical composition according to Claim 36 wherein R<sup>1</sup> is a disubstituted phenyl selected from the group consisting of 3,5-dichlorophenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)-phenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3-(trifluoromethyl)-4-chlorophenyl, 3-chloro-4-cyanophenyl, 3-chloro-4-iodophenyl, and 3,4-methylenedioxyphenyl.

10 38. The pharmaceutical composition according to Claim 36 wherein R<sup>1</sup> is a monosubstituted phenyl selected from the group consisting of 4-azidophenyl, 4-bromophenyl, 4-chlorophenyl, 4-cyanophenyl, 4-ethylphenyl, 4-fluorophenyl, 4-iodophenyl, 4-(phenylcarbonyl)-phenyl, and 4-(1-ethoxy)ethylphenyl.

15 39. The pharmaceutical composition according to Claim 36 wherein R<sup>1</sup> is a trisubstituted phenyl selected from the group consisting of 3,4,5-trifluorophenyl and 3,4,5-trichlorophenyl.

20 40. The pharmaceutical composition according to Claim 32 wherein R<sup>1</sup> is selected from 2-naphthyl, quinolin-3-yl, 2-methylquinolin-6-yl, benzothiazol-6-yl, 5-indolyl, and phenyl.

25 41. The pharmaceutical composition according to any of Claim 32 wherein *m* is one.

30 42. The pharmaceutical composition according to Claim 41 wherein R<sup>1</sup> is selected from the group consisting of phenyl, 1-naphthyl, 2-naphthyl, 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl, 2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl,

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2-(4-methoxyphenyl)ethyl, norboran-2-yl, (4-methoxyphenyl)methyl,  
(2-methoxyphenyl)methyl, (3-methoxyphenyl)methyl, (3-hydroxyphenyl)methyl,  
(4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl, (4-methylphenyl)methyl,  
(4-fluorophenyl)methyl, (4-fluorophenoxy)methyl, (2,4-dichlorophenoxy)ethyl,  
5 (4-chlorophenyl)methyl, (2-chlorophenyl)methyl, (1-phenyl)ethyl,  
(1-(*p*-chlorophenyl)ethyl, (1-trifluoromethyl)ethyl, (4-methoxyphenyl)ethyl,  
CH<sub>3</sub>OC(O)CH<sub>2</sub>-, benzylthiomethyl, 5-(methoxycarbonyl)-*n*-pentyl,  
3-(methoxycarbonyl)-*n*-propyl, indan-2-yl, (2-methylbenzofuran-3-yl),  
methoxymethyl, CH<sub>3</sub>CH=CH-, CH<sub>3</sub>CH<sub>2</sub>CH=CH-, (4-chlorophenyl)C(O)CH<sub>2</sub>-,  
10 (4-fluorophenyl)C(O)CH<sub>2</sub>-, (4-methoxyphenyl)C(O)CH<sub>2</sub>-, 4-(fluorophenyl)-  
NHC(O)CH<sub>2</sub>-, 1-phenyl-*n*-butyl, (φ)<sub>2</sub>CHNHC(O)CH<sub>2</sub>CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>NC(O)CH<sub>2</sub>-,  
(φ)<sub>2</sub>CHNHC(O)CH<sub>2</sub>CH<sub>2</sub>-, methylcarbonylmethyl,  
(2,4-dimethylphenyl)C(O)CH<sub>2</sub>-, 4-methoxyphenyl-C(O)CH<sub>2</sub>-, phenyl-C(O)CH<sub>2</sub>-,  
CH<sub>3</sub>C(O)N(φ)-, ethenyl, methylthiomethyl, (CH<sub>3</sub>)<sub>3</sub>CNHC(O)CH<sub>2</sub>-,  
15 4-fluorophenyl-C(O)CH<sub>2</sub>-, diphenylmethyl, phenoxymethyl,  
3,4-methylenedioxyphenyl-CH<sub>2</sub>-, benzo[*b*]thiophen-3-yl, (CH<sub>3</sub>)<sub>3</sub>COC(O)NHCH<sub>2</sub>-  
, *trans*-styryl, H<sub>2</sub>NC(O)CH<sub>2</sub>CH<sub>2</sub>-, 2-trifluoromethylphenyl-C(O)CH<sub>2</sub>,  
φC(O)NHCH(φ)CH<sub>2</sub>-, mesityl, CH<sub>3</sub>CH(=NHOH)CH<sub>2</sub>-, 4-CH<sub>3</sub>-φ-  
NHC(O)CH<sub>2</sub>CH<sub>2</sub>-, φC(O)CH(φ)CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>CHC(O)NHCH(φ)-,  
20 CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>-, CH<sub>3</sub>OC(O)CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-, 2,2,2-trifluoroethyl,  
1-(trifluoromethyl)ethyl, 2-CH<sub>3</sub>-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl,  
φSO<sub>2</sub>CH<sub>2</sub>-, 3-cyclohexyl-*n*-propyl, CF<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- and N-pyrrolidinyl.

43. The pharmaceutical composition according to Claim 32 where *n* is  
25 one or two, and each R<sup>2</sup> is independently selected from the group consisting of  
alkyl, substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

44. The pharmaceutical composition according to Claim 43 wherein R<sup>2</sup>  
is selected from the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl,  
30 *n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methyl-*n*-butyl,  
6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cyclopentyl, cycloheptyl, allyl,

*iso*-but-2-enyl, 3-methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, *p*-(phenyl)phenyl, *o*-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *m*-methoxyphenyl, *p*-methoxyphenyl, phenethyl, benzyl, *m*-hydroxybenzyl, *p*-hydroxybenzyl, *p*-nitrobenzyl, *m*-trifluoromethylphenyl, *p*-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl, *p*-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl, *p*-(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl, *p*-(*N*-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-yl, -CH<sub>2</sub>-(3-tetrahydrofuranyl), -CH<sub>2</sub>-thiophen-2-yl, -CH<sub>2</sub>(1-methyl)cyclopropyl, -CH<sub>2</sub>-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, -CH<sub>2</sub>-C(O)O-*t*-butyl, -CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methylcyclopentyl, cyclohex-2-enyl, -CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>, -CH<sub>2</sub>CH=CHCH<sub>3</sub> (*cis* and *trans*), -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>, -CH(O-*t*-butyl)CH<sub>3</sub>, -CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>-pyridyl, pyridyl, -CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(*N*-morpholino), *p*-(*N*-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl, -CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, thien-2-yl, thien-3-yl, and the like.

20           45.    The pharmaceutical composition according to Claim 32 wherein the cyclic groups defined by W and -C(H)<sub>p</sub>C(=X)- is selected from the group consisting of lactones, lactams, thiolactones, thiolactams, heterocyclic and cycloalkyl groups.

25           46.    The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W and -C(H)<sub>p</sub>C(=X)-, forms a lactam or thiolactam ring of the formula:

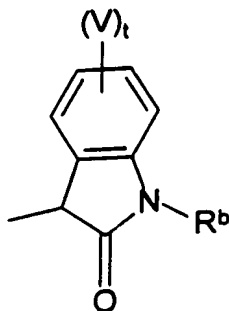
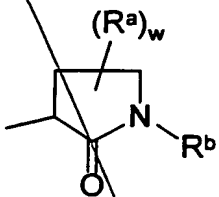
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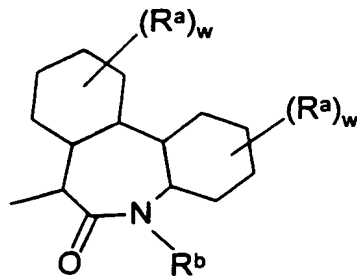
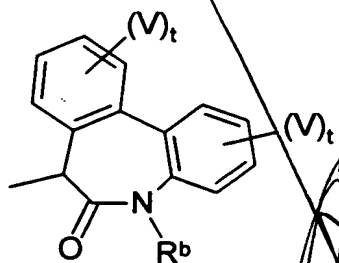


47. The method according to Claim 46 wherein the lactam ring is selected from the group consisting of

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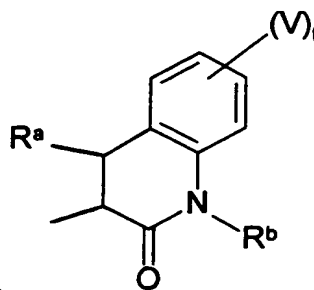
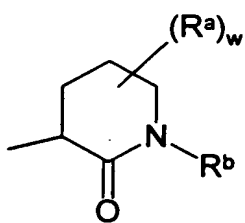


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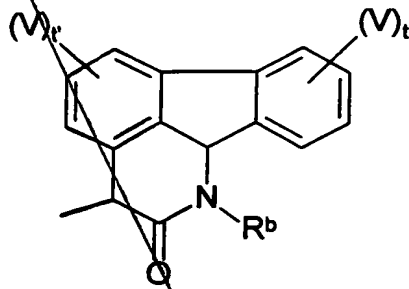
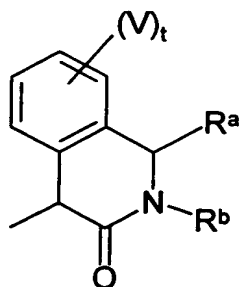


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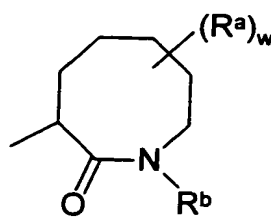
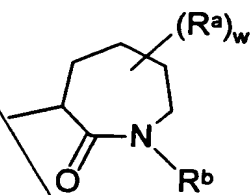


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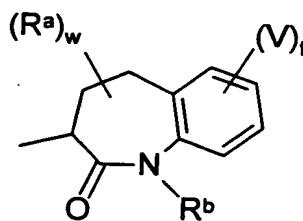
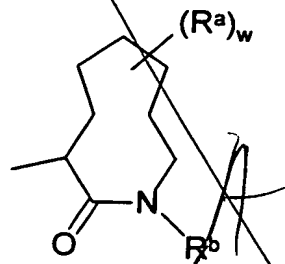
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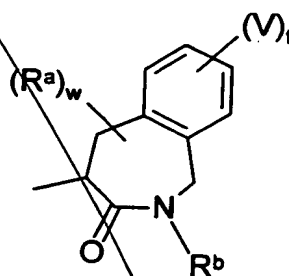
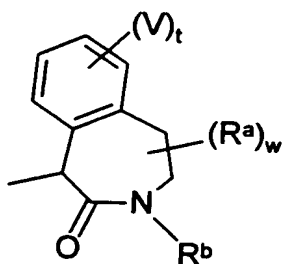


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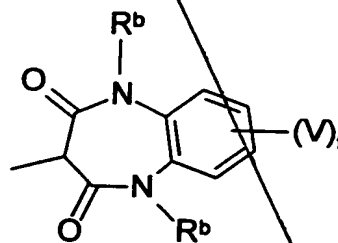
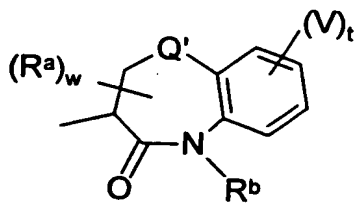


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48. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$  is a ring of the formula:

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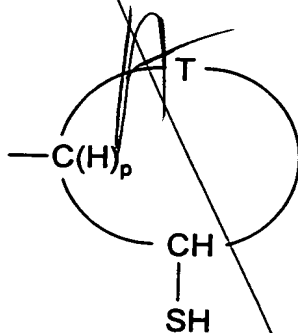
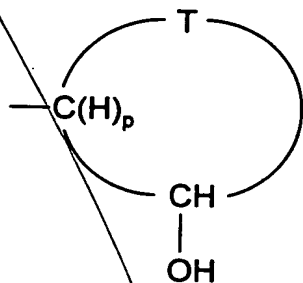
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or

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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and

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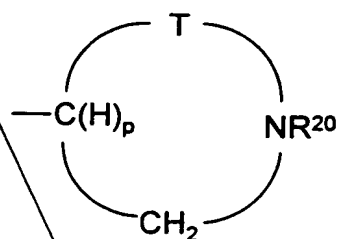


50. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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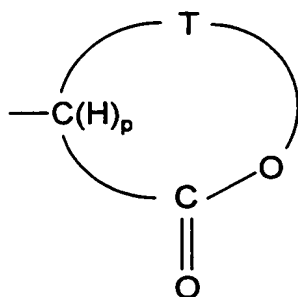


wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

51. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

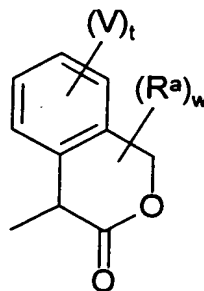
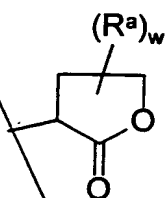
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52. The pharmaceutical composition according to Claim 51 wherein the compound of formula I is selected from the group consisting of

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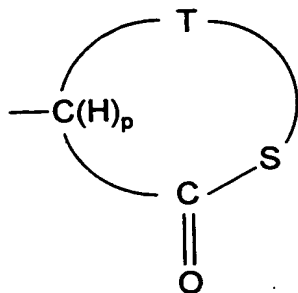
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wherein each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like;  $R^a$  is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like;  $t$  is an integer from 0 to 4; and  $w$  is an integer from 0 to 3.

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53. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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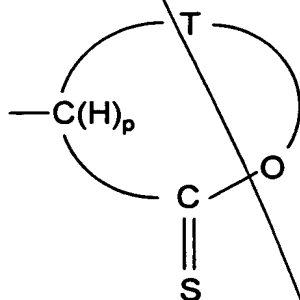
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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

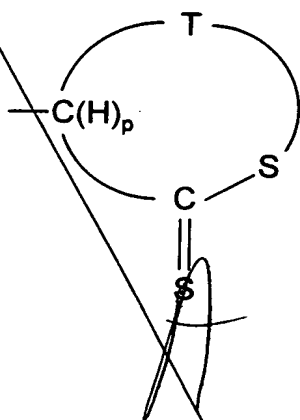
54. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and

substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

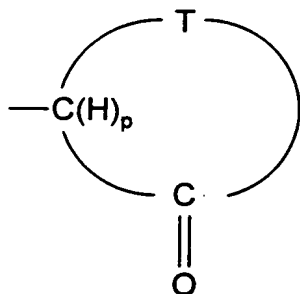
55. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of -O-, -S- and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

56. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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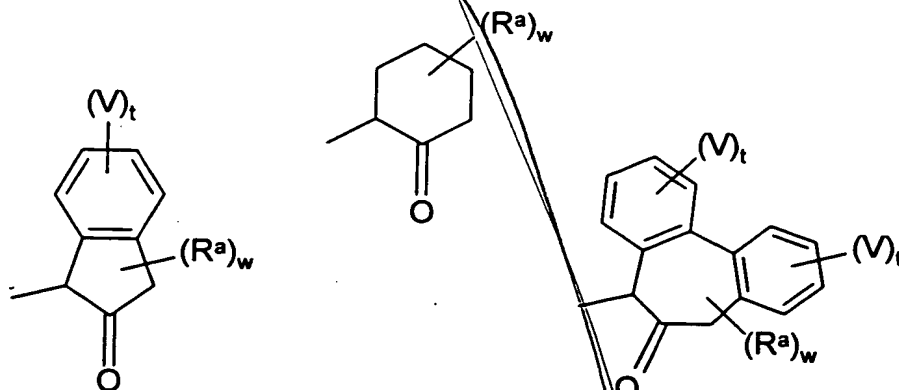
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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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57. The pharmaceutical composition according to Claim 56 wherein the compound of formula I is selected from the group consisting of:

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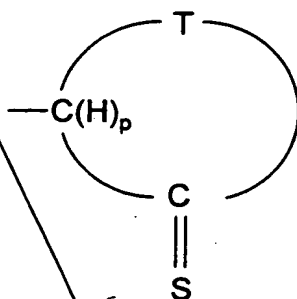
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58. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-\text{C}(\text{H})_p\text{C}(=\text{X})-$ , forms a ring of the formula:

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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(\text{R}^{21}\text{Z})_q\text{R}_{21}-$  and  $-\text{ZR}^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $>\text{NR}^{20}$ , each  $\text{R}^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $\text{R}^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-\text{O}-$  or  $-\text{S}-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-\text{O}-$  or  $-\text{S}-$ , and  $q$  is an integer of from 1 to 3.



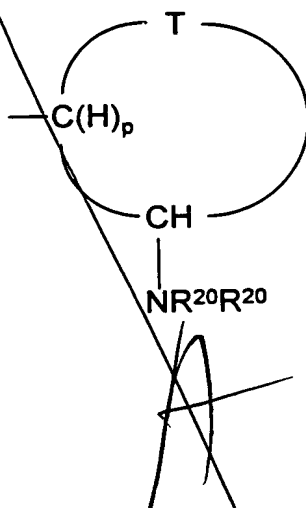
60. The pharmaceutical composition according to Claim 45 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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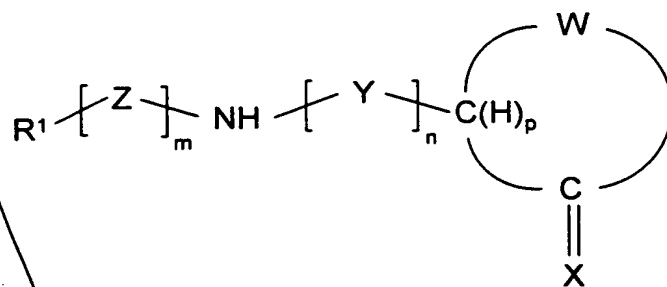
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61. A compound of formula I:

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wherein  $R^1$  is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

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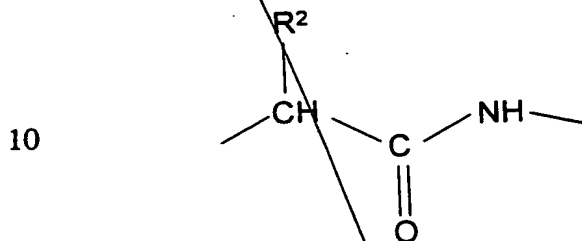
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W, together with  $-C(H)_pC(=X)-$ , forms a cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl, or substituted cycloalkenyl group wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of cycloalkyl, cycloalkenyl, heterocyclic, aryl and heteroaryl group which, in turn, each of such ring structures are optionally substituted with 1 to 4 substituents selected from the group consisting of hydroxyl, halo, alkoxy, substituted alkoxy, thioalkoxy, substituted thioalkoxy, nitro, cyano, carboxyl, carboxyl esters, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, N-alkylamino, N,N-dialkylamino, N-substituted alkylamino, N-alkyl N-substituted alkylamino, N,N-disubstituted alkylamino,  $-NHC(O)R^4$ ,  $-NHSO_2R^4$ ,  $-C(O)NH_2$ ,  $-C(O)NHR^4$ ,  $-C(O)NR^4R^4$ ,  $-S(O)R^4$ ,  $-S(O)_2R^4$ ,  $-S(O)_2NHR^4$  and  $-S(O)_2NR^4R^4$  where each  $R^4$  is independently selected from the group consisting of alkyl, substituted alkyl, or aryl;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H,-SH) and hydro (H,H);

Y is represented by the formula:

5



wherein each R<sup>2</sup> is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, aryl, heteroaryl and heterocyclic;

Z is represented by the formula -T-CX'X''C(O)- where T is selected from the group consisting of a bond covalently linking R<sup>1</sup> to -CX'X''-, oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is hydrogen, acyl, alkyl, aryl or heteroaryl group;

X' is hydrogen, hydroxy or fluoro,

X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

25 *m* is an integer equal to 0 or 1;

*n* is an integer equal to 0, 1 or 2;

*p* is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by W and -C(H)<sub>*p*</sub>C(=X)- is unsaturated at the carbon atom of ring attachment to Y and when *p* is one, the ring is saturated at the carbon atom of ring attachment to Y,

30

with the following provisos:

A. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z is -CH<sub>2</sub>C(O)-, *m* is 1, *n* is 1, and *p* is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;



B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;

5 C. when  $R^1$  is phenyl,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a gammabutyrolactone group or a 5,5-dimethyl-gammabutyrolactone group;

D. when  $R^1$  is phenyl,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 0, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a  $\epsilon$ -caprolactam group;

10 E. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an  $N$ -methylcaprolactam group;

F. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

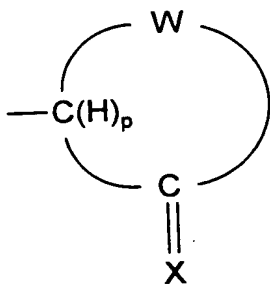
15 G. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

20 H. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

25 I. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}_2\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2,3-dihydro-1-( $N,N$ -diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

J. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z$  is  $-\text{CH}(\text{OH})\text{C}(\text{O})-$ ,  $m$  is 1,  $n$  is 1, and  $p$  is 1, then  $W$ , together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2,3-dihydro-1-( $N,N$ -diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one,

30 K. when  $m$  is 1 and  $n$  is 1, then



15 does not equal cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

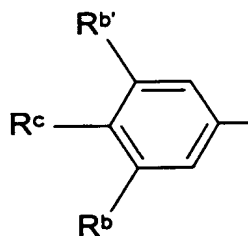
20 62. The compound according to Claim 61 where, in formula I,  $m$  is zero.

25 63. The compound according to Claim 62 wherein  $R^1$  is aryl or heteroaryl.

30 64. The compound according to Claim 63 wherein  $R^1$  is selected from the group consisting of

35 (a) phenyl,

(b) a substituted phenyl group of the formula:



wherein R<sup>c</sup> is selected from the group consisting of acyl, alkyl, alkoxy, alkylalkoxy, azido, cyano, halo, hydrogen, nitro, trihalomethyl, thioalkoxy, and wherein R<sup>b</sup> and R<sup>c</sup> are fused to form a heteroaryl or heterocyclic ring with the phenyl ring wherein the heteroaryl or heterocyclic ring contains from 3 to 8 atoms of which from 1 to 3 are heteroatoms independently selected from the group consisting of oxygen, nitrogen and sulfur

R<sup>b</sup> and R<sup>b'</sup> are independently selected from the group consisting of hydrogen, halo, nitro, cyano, trihalomethyl, alkoxy, and thioalkoxy with the proviso that when R<sup>c</sup> is hydrogen, then R<sup>b</sup> and R<sup>b'</sup> are either both hydrogen or both substituents other than hydrogen,

(c) 2-naphthyl,

(d) 2-naphthyl substituted at the 4, 5, 6, 7 and/or 8 positions with 1 to 5 substituents selected from the group consisting alkyl, alkoxy, halo, cyano, nitro, trihalomethyl, thioalkoxy, ~~aryl~~, and heteroaryl,

(e) heteroaryl, and

(f) substituted heteroaryl containing 1 to 3 substituents selected from the group consisting of alkyl, alkoxy, aryl, aryloxy, cyano, halo, nitro, heteroaryl, thioalkoxy, thioaryloxy provided that said substituents are not *ortho* to the heteroaryl attachment to the -NH group.

65. The compound according to Claim 61 wherein R<sup>1</sup> is selected from the group consisting of mono-, di- and tri-substituted phenyl groups.

66. The compound according to Claim 65 wherein R<sup>1</sup> is a disubstituted phenyl selected from the group consisting of 3,5-dichlorophenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)-phenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3-(trifluoromethyl)-4-chlorophenyl, 3-chloro-4-cyanophenyl, 3-chloro-4-iodophenyl, and 3,4-methylenedioxyphenyl.

67. The compound according to Claim 65 wherein R<sup>1</sup> is a monosubstituted phenyl selected from the group consisting of

4-azidophenyl, 4-bromophenyl, 4-chlorophenyl, 4-cyanophenyl, 4-ethylphenyl, 4-fluorophenyl, 4-iodophenyl, 4-(phenylcarbonyl)-phenyl, and 4-(1-ethoxy)ethylphenyl.

5           68.    The compound according to Claim 65 wherein R<sup>1</sup> is a trisubstituted phenyl selected from the group consisting of 3,4,5-trifluorophenyl and 3,4,5-trichlorophenyl.

10           69.    The compound according to Claim 61 wherein R<sup>1</sup> is selected from 2-naphthyl, quinolin-3-yl, 2-methylquinolin-6-yl, benzothiazol-6-yl, 5-indolyl, and phenyl.

15           70.    The compound according to any of Claim 61 wherein *m* is one.

15           71.    The compound according to Claim 70 wherein R<sup>1</sup> is selected from the group consisting of phenyl, 1-naphthyl, 2-naphthyl, 2-chlorophenyl, 2-fluorophenyl, 2-bromophenyl, 2-hydroxyphenyl, 2-nitrophenyl, 2-methylphenyl, 2-methoxyphenyl, 2-phenoxyphenyl, 2-trifluoromethylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-bromophenyl, 20    4-nitrophenyl, 4-methylphenyl, 4-hydroxyphenyl, 4-methoxyphenyl, 4-ethoxyphenyl, 4-butoxyphenyl, 4-*iso*-propylphenyl, 4-phenoxyphenyl, 4-trifluoromethylphenyl, 4-hydroxymethylphenyl, 3-methoxyphenyl, 3-hydroxyphenyl, 3-nitrophenyl, 3-fluorophenyl, 3-chlorophenyl, 3-bromophenyl, 3-phenoxyphenyl, 3-thiomethoxyphenyl, 3-methylphenyl; 25    3-trifluoromethylphenyl, 2,3-dichlorophenyl, 2,3-difluorophenyl, 2,4-dichlorophenyl, 2,5-dimethoxyphenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-methylenedioxyphenyl, 3,4-dimethoxyphenyl, 3,5-difluorophenyl, 3,5-dichlorophenyl, 3,5-di-(trifluoromethyl)phenyl, 3,5-dimethoxyphenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4,5- 30    trifluorophenyl, 3,4,5-trimethoxyphenyl, 3,4,5-tri-(trifluoromethyl)phenyl, 2,4,6-trifluorophenyl, 2,4,6-trimethylphenyl, 2,4,6-tri-(trifluoromethyl)phenyl,

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2,3,5-trifluorophenyl, 2,4,5-trifluorophenyl, 2,5-difluorophenyl, 2-fluoro-3-trifluoromethylphenyl, 4-fluoro-2-trifluoromethylphenyl, 2-fluoro-4-trifluoromethylphenyl, 4-benzyloxyphenyl, 2-chloro-6-fluorophenyl, 2-fluoro-6-chlorophenyl, 2,3,4,5,6-pentafluorophenyl, 2,5-dimethylphenyl,  
5 4-phenylphenyl, 2-fluoro-3-trifluoromethylphenyl, adamantyl, benzyl, 2-phenylethyl, 3-phenyl-*n*-propyl, 4-phenyl-*n*-butyl, methyl, ethyl, *n*-propyl, *iso*-propyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, *n*-pentyl, *iso*-valeryl, *n*-hexyl, cyclopropyl, cyclobutyl, cyclohexyl, cyclopentyl, cyclopent-1-enyl, cyclopent-2-enyl, cyclohex-1-enyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>-cyclohexyl,  
10 -CH<sub>2</sub>-cyclopentyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclobutyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclopentyl, pyrid-2-yl, pyrid-3-yl, pyrid-4-yl, fluoropyridyls, chloropyridyls, thien-2-yl, thien-3-yl, benzothiazol-4-yl, 2-phenylbenzoxazol-5-yl, furan-2-yl, benzofuran-2-yl, thionaphthen-2-yl, thionaphthen-3-yl, thionaphthen-4-yl, 2-chlorothiophen-5-yl, 3-methylisoxazol-5-yl,  
15 2-(thiophenyl)thien-5-yl, 6-methoxythionaphthen-2-yl, 3-phenyl-1,2,4-thioxadiazol-5-yl, 2-phenyloxazol-4-yl, indol-3-yl, 1-phenyl-tetraol-5-yl, allyl, 2-(cyclohexyl)ethyl, (CH<sub>3</sub>)<sub>2</sub>CH=CHCH<sub>2</sub>CH<sub>2</sub>CH(CH<sub>3</sub>)-,  $\phi$ C(O)CH<sub>2</sub>-, thien-2-yl-methyl, 2-(thien-2-yl)ethyl, 3-(thien-2-yl)-*n*-propyl, 2-(4-nitrophenyl)ethyl, 2-(4-methoxyphenyl)ethyl, norboran-2-yl, (4-methoxyphenyl)methyl,  
20 (2-methoxyphenyl)methyl, (3-methoxyphenyl)methyl, (3-hydroxyphenyl)methyl, (4-hydroxyphenyl)methyl, (4-methoxyphenyl)methyl, (4-methylphenyl)methyl, (4-fluorophenyl)methyl, (4-fluorophenoxy)methyl, (2,4-dichlorophenoxy)ethyl, (4-chlorophenyl)methyl, (2-chlorophenyl)methyl, (1-phenyl)ethyl, (1-(*p*-chlorophenyl)ethyl, (1-trifluoromethyl)ethyl, (4-methoxyphenyl)ethyl,  
25 CH<sub>3</sub>OC(O)CH<sub>2</sub>-, benzylthiomethyl, 5-(methoxycarbonyl)-*n*-pentyl, 3-(methoxycarbonyl)-*n*-propyl, indan-2-yl, (2-methylbenzofuran-3-yl), methoxymethyl, CH<sub>3</sub>CH=CH-, CH<sub>3</sub>CH<sub>2</sub>CH=CH-, (4-chlorophenyl)C(O)CH<sub>2</sub>-, (4-fluorophenyl)C(O)CH<sub>2</sub>-, (4-methoxyphenyl)C(O)CH<sub>2</sub>-, 4-(fluorophenyl)-NHC(O)CH<sub>2</sub>-, 1-phenyl-*n*-butyl, ( $\phi$ )<sub>2</sub>CHNHC(O)CH<sub>2</sub>CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>NC(O)CH<sub>2</sub>-,  
30 ( $\phi$ )<sub>2</sub>CHNHC(O)CH<sub>2</sub>CH<sub>2</sub>-, methylcarbonylmethyl,

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(2,4-dimethylphenyl)C(O)CH<sub>2</sub>-, 4-methoxyphenyl-C(O)CH<sub>2</sub>-, phenyl-C(O)CH<sub>2</sub>-,  
CH<sub>3</sub>C(O)N(φ)-, ethenyl, methylthiomethyl, (CH<sub>3</sub>)<sub>3</sub>CNHC(O)CH<sub>2</sub>-,  
4-fluorophenyl-C(O)CH<sub>2</sub>-, diphenylmethyl, phenoxymethyl,  
3,4-methylenedioxyphenyl-CH<sub>2</sub>-, benzo[b]thiophen-3-yl, (CH<sub>3</sub>)<sub>3</sub>COC(O)NHCH<sub>2</sub>-  
5 , *trans*-styryl, H<sub>2</sub>NC(O)CH<sub>2</sub>CH<sub>2</sub>-, 2-trifluoromethylphenyl-C(O)CH<sub>2</sub>,  
φC(O)NHCH(φ)CH<sub>2</sub>-, mesityl, CH<sub>3</sub>CH(=NHOH)CH<sub>2</sub>-, 4-CH<sub>3</sub>-φ-  
NHC(O)CH<sub>2</sub>CH<sub>2</sub>-, φC(O)CH(φ)CH<sub>2</sub>-, (CH<sub>3</sub>)<sub>2</sub>CHC(O)NHCH(φ)-,  
CH<sub>3</sub>CH<sub>2</sub>OCH<sub>2</sub>-, CH<sub>3</sub>OC(O)CH(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>3</sub>-, 2,2,2-trifluoroethyl,  
1-(trifluoromethyl)ethyl, 2-CH<sub>3</sub>-benzofuran-3-yl, 2-(2,4-dichlorophenoxy)ethyl,  
10 φSO<sub>2</sub>CH<sub>2</sub>-, 3-cyclohexyl-*n*-propyl, CF<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>- and N-pyrrolidinyl.

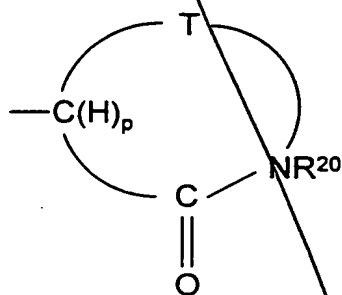
72. The compound according to Claim 61 where *n* is one or two, and  
each R<sup>2</sup> is independently selected from the group consisting of alkyl, substituted  
alkyl, alkenyl, cycloalkyl, aryl, heteroaryl and heterocyclic.

73. The compound according to Claim 61 wherein R<sup>2</sup> is selected from  
the group consisting of methyl, ethyl, *n*-propyl, *iso*-propyl,  
*n*-butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methyl-*n*-butyl,  
6-fluoro-*n*-hexyl, phenyl, benzyl, cyclohexyl, cyclopentyl, cycloheptyl, allyl,  
20 *iso*-but-2-enyl, 3-methylpentyl, -CH<sub>2</sub>-cyclopropyl, -CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>CH<sub>2</sub>-  
cyclopropyl, -CH<sub>2</sub>CH<sub>2</sub>-cyclohexyl, -CH<sub>2</sub>-indol-3-yl, *p*-(phenyl)phenyl,  
*o*-fluorophenyl, *m*-fluorophenyl, *p*-fluorophenyl, *m*-methoxyphenyl, *p*-  
methoxyphenyl, phenethyl, benzyl, *m*-hydroxybenzyl, *p*-hydroxybenzyl, *p*-  
nitrobenzyl, *m*-trifluoromethylphenyl, *p*-(CH<sub>3</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O-benzyl,  
25 *p*-(CH<sub>3</sub>)<sub>3</sub>COC(O)CH<sub>2</sub>O-benzyl, *p*-(HOOCCH<sub>2</sub>O)-benzyl, 2-aminopyrid-6-yl,  
*p*-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl, -CH<sub>2</sub>CH<sub>2</sub>C(O)NH<sub>2</sub>, -CH<sub>2</sub>-imidazol-4-yl,  
-CH<sub>2</sub>-(3-tetrahydrofuran-yl), -CH<sub>2</sub>-thiophen-2-yl, -CH<sub>2</sub>(1-methyl)cyclopropyl,  
-CH<sub>2</sub>-thiophen-3-yl, thiophen-3-yl, thiophen-2-yl, -CH<sub>2</sub>-C(O)O-*t*-butyl,  
-CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, 2-methylcyclopentyl, cyclohex-2-enyl,  
30 -CH[CH(CH<sub>3</sub>)<sub>2</sub>]COOCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>C(CH<sub>3</sub>)=CH<sub>2</sub>,

-CH<sub>2</sub>CH=CHCH<sub>3</sub> (cis and trans), -CH<sub>2</sub>OH, -CH(OH)CH<sub>3</sub>, -CH(O-*t*-butyl)CH<sub>3</sub>,  
-CH<sub>2</sub>OCH<sub>3</sub>, -(CH<sub>2</sub>)<sub>4</sub>NH-Boc, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>-pyridyl, pyridyl,  
-CH<sub>2</sub>-naphthyl, -CH<sub>2</sub>-(N-morpholino), *p*-(N-morpholino-CH<sub>2</sub>CH<sub>2</sub>O)-benzyl,  
benzo[b]thiophen-2-yl, 5-chlorobenzo[b]thiophen-2-yl, 4,5,6,7-  
5 tetrahydrobenzo[b]thiophen-2-yl, benzo[b]thiophen-3-yl, 5-  
chlorobenzo[b]thiophen-3-yl, benzo[b]thiophen-5-yl, 6-methoxynaphth-2-yl,  
-CH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, thien-2-yl, thien-3-yl, and the like.

74. The compound according to Claim 61 wherein the cyclic groups  
10 defined by W and -C(H)<sub>p</sub>C(=X)- is selected from the group consisting of  
lactones, lactams, thiolactones, thiolactams, heterocyclic and cycloalkyl groups.

75. The compound according to Claim 74 wherein the cyclic group  
15 defined by W and -C(H)<sub>p</sub>C(=X)-, forms a lactam or thiolactam ring of the  
formula:

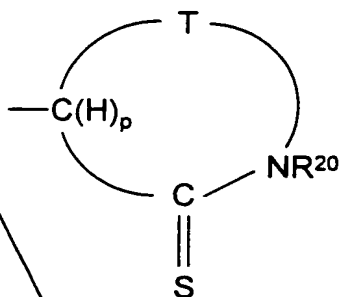


or

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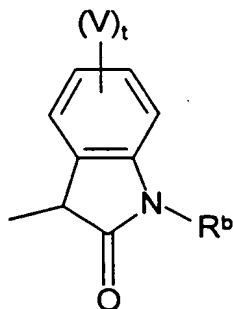
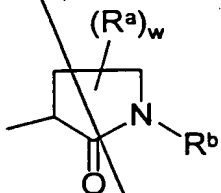
wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

RESEARCH

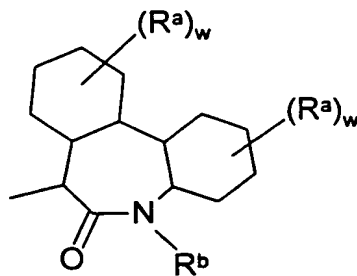
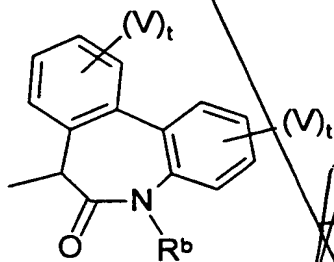


76. The method according to Claim 75 wherein the lactam ring is selected from the group consisting of

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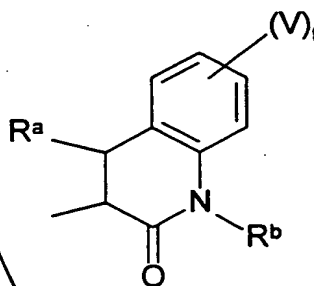
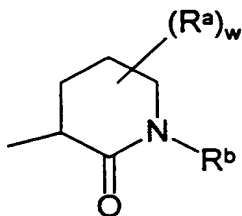


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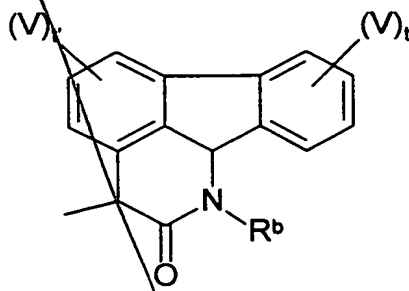
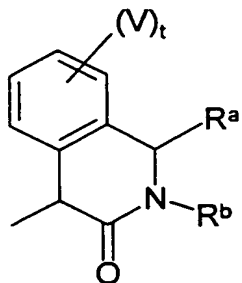


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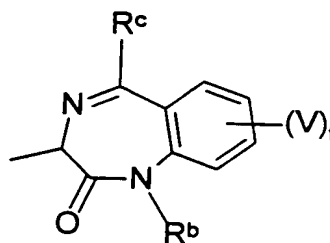
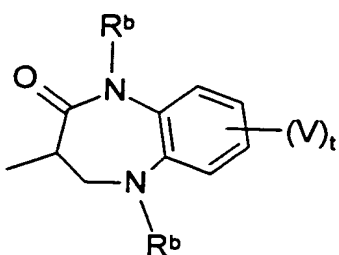


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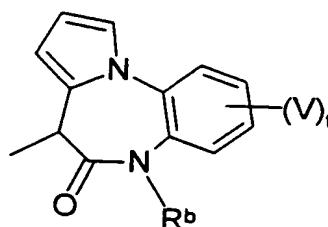
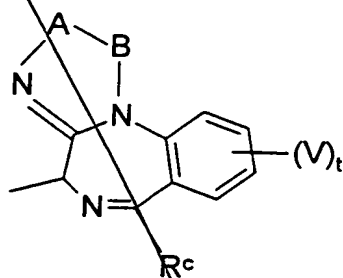
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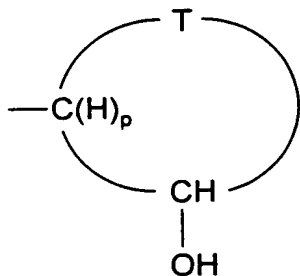
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wherein A-B is selected from the group consisting of alkylene, alkenylene, substituted alkylene, substituted alkenylene and -N=CH-; Q' is oxygen or sulfur; each V is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl, aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl, thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R<sup>a</sup> is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; R<sup>b</sup> is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, acyl, aryl, heteroaryl, heterocyclic, and the like; R<sup>c</sup> is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, heteroaryl, heterocyclic, cycloalkyl, and substituted cycloalkyl; *t* is an integer from 0 to 4; *t'* is an integer from 0 to 3; and *w* is an integer from 0 to 3.

77. The compound according to Claim 74 wherein the cyclic group defined by W, together with -C(H)<sub>p</sub>C(=X)- is a ring of the formula:

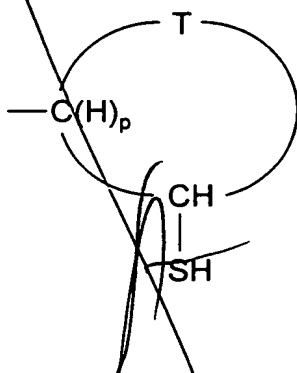
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or

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wherein  $p$  is zero or one,  $\text{T}$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(\text{R}^{21}\text{Z})_q\text{R}_{21}-$  and  $-\text{ZR}^{21}-$  where  $\text{Z}$  is a substituent selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $>\text{NR}^{20}$ , each  $\text{R}^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $\text{R}^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $\text{Z}$  is  $-\text{O}-$  or  $-\text{S}-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-\text{O}-$  or  $-\text{S}-$ , and  $q$  is an integer of from 1 to 3.

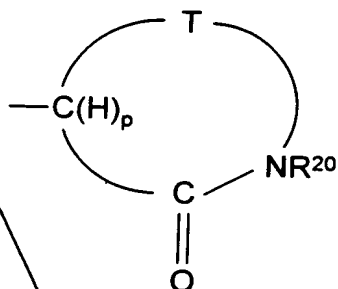
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78. The compound according to Claim 77 wherein the alcohol or thiol substituted groups is selected from the group consisting of



79. The compound according to Claim 74 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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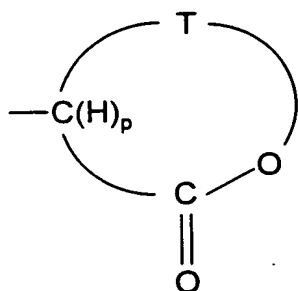
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wherein  $p$  is zero or one.  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

80. The compound according to Claim 74 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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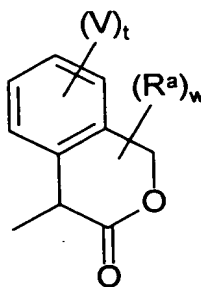
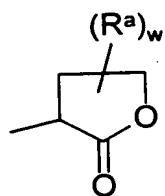


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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

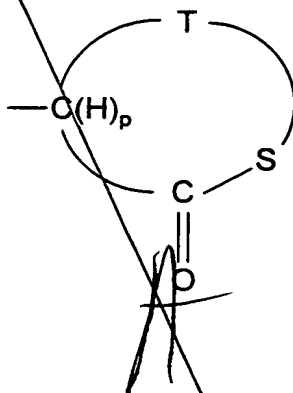
81. The compound according to Claim 80 wherein the compound of formula I is selected from the group consisting of



wherein each  $V$  is independently selected from the group consisting of hydroxy, acyl, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amino, aminoacyl, alkaryl,

aryl, aryloxy, carboxyl, carboxylalkyl, cyano, halo, nitro, heteroaryl,  
thioalkoxy, substituted thioalkoxy, trihalomethyl and the like; R<sup>a</sup> is selected  
from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy,  
amino, carboxyl, carboxyl alkyl, cyano, halo, and the like; *t* is an integer from  
0 to 4; and *w* is an integer from 0 to 3.

82. The compound according to Claim 74 wherein the cyclic group  
defined by W, together with -C(H)<sub>p</sub>C(=X)-, forms a ring of the formula:

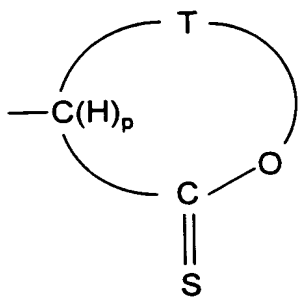


wherein *p* is zero or one, T is selected from the group consisting of alkylene,  
substituted alkylene, alkenylene, substituted alkenylene, -(R<sup>21</sup>Z)<sub>q</sub>R<sub>21</sub>- and -ZR<sup>21</sup>-  
where Z is a substituent selected from the group consisting of -O-, -S- and  
>NR<sup>20</sup>, each R<sup>20</sup> is independently selected from the group consisting of alkyl,  
alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl,  
substituted alkynyl, aryl, heteroaryl and heterocyclic, each R<sup>21</sup> is independently  
alkylene, substituted alkylene, alkenylene and substituted alkenylene with the  
proviso that when Z is -O- or -S-, any unsaturation in the alkenylene and  
substituted alkenylene does not involve participation of the -O- or -S-, and *q* is  
an integer of from 1 to 3.

83. The compound according to Claim 74 wherein the cyclic group  
defined by W, together with -C(H)<sub>p</sub>C(=X)-, forms a ring of the formula:



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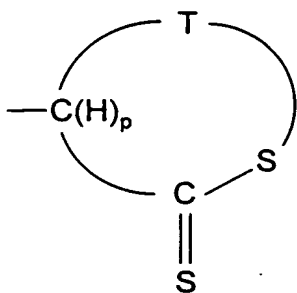
wherein  $p$  is zero or one, T is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where Z is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when Z is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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84. The compound according to Claim 74 wherein the cyclic group defined by W, together with  $-\text{C(H)}_p\text{C(=X)-}$ , forms a ring of the formula:

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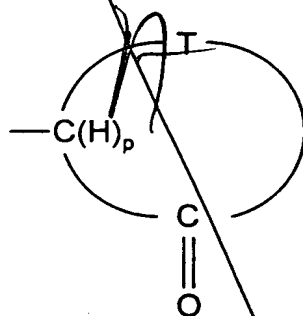


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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

85. The compound according to Claim 74 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and

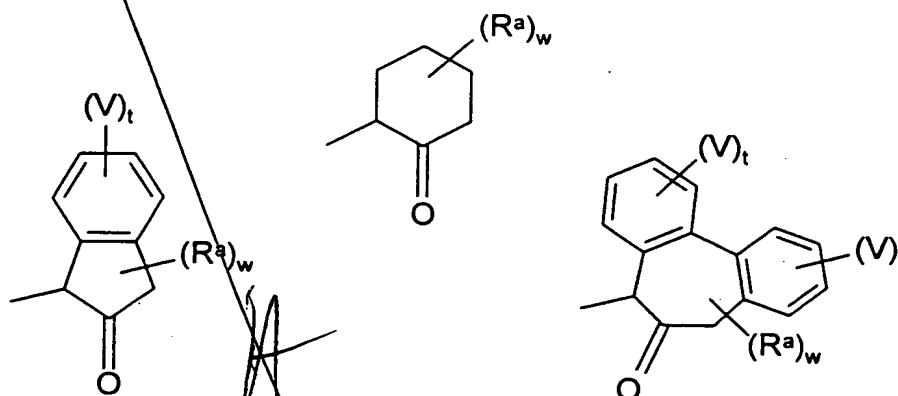
substituted alkenylene does not involve participation of the -O- or -S-, and  $q$  is an integer of from 1 to 3.

5 86. The compound according to Claim 85 wherein the compound of formula I is selected from the group consisting of:

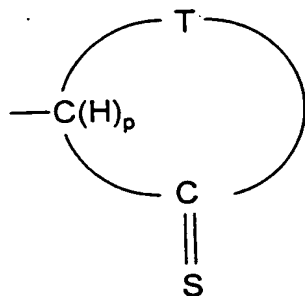
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87. The compound according to Claim 74 wherein the cyclic group defined by W, together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:



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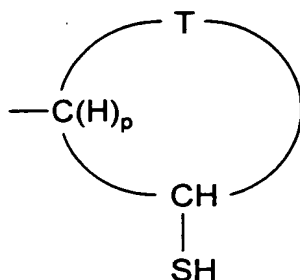
25

wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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88. The compound according to Claim 74 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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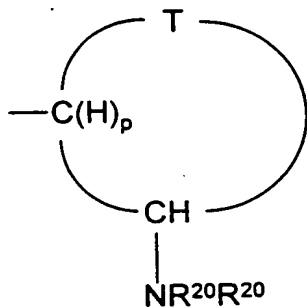
wherein  $p$  is zero or one;  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

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89. The compound according to Claim 74 wherein the cyclic group defined by  $W$ , together with  $-C(H)_pC(=X)-$ , forms a ring of the formula:

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wherein  $p$  is zero or one,  $T$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene,  $-(R^{21}Z)_qR_{21}-$  and  $-ZR^{21}-$  where  $Z$  is a substituent selected from the group consisting of  $-O-$ ,  $-S-$  and  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, substituted alkyl, substituted alkenyl, substituted alkynyl, aryl, heteroaryl and heterocyclic, each  $R^{21}$  is independently alkylene, substituted alkylene, alkenylene and substituted alkenylene with the proviso that when  $Z$  is  $-O-$  or  $-S-$ , any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the  $-O-$  or  $-S-$ , and  $q$  is an integer of from 1 to 3.

90. A compound selected from the group consisting of:

1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-aminodibenzosuberane

1-(R)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-2-(S)-indanol

1-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-2-(R)-indanol

1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-2-indanol

2-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-1-cyclohexanol

1-(R,S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino-1,2,3,4-tetrahydro-2-naphthol

1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-aminobenz[f]cycloheptan-2-ol

5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-5,7-dihydro-6H-dibenzo[a,c]cyclohepten-6-ol

1-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-aminoindan-2-one

2-(N'-(phenylacetyl)-L-alaninyl)aminocyclohexan-1-one

5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-5,7-dihydro-6H-dibenzo[a,c]cyclohepten-6-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino- $\gamma$ -butyrolactone

3-(N'-(3,4-dichlorophenyl)-L-alaninyl)amino- $\gamma$ -butyrolactone



4-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-7-phenyl-1,2,3,4-tetrahydroisoquinolin-3-one

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(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-(9-aminofluoren-1-yl)glycine  $\delta$ -lactam

3-(N'-(phenylacetyl)-L-alaninyl)amino- $\epsilon$ -caprolactam

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3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)-amino- $\epsilon$ -caprolactam

3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-benzyl- $\epsilon$ -caprolactam

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3-(S)-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(2-methoxyethyl)- $\epsilon$ -caprolactam

3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-ethyl- $\epsilon$ -caprolactam

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3-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-ethyl- $\epsilon$ -caprolactam

3-N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-ethyl- $\epsilon$ -caprolactam

3-N'-(3,5-difluorophenylacetyl)-L-alaninyl-amino)-7-benzyl- $\epsilon$ -caprolactam

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3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-benzyl-4,7-methano- $\epsilon$ -caprolactam

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3-(S)-(N'-(cyclopentylacetyl)-L-alaninyl)amino-1-benzyl- $\epsilon$ -caprolactam

3-(S)-(N'-(cyclopentylacetyl)-L-phenylglycinyl)amino-1-benzyl- $\epsilon$ -caprolactam

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3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-(2-phenethyl)- $\epsilon$ -caprolactam

3-(S)-(N'-(cyclopentylacetyl)-L-phenylglycinyl)amino-1-(2-phenethyl)- $\epsilon$ -caprolactam

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3-(N'-(3,4-dichlorophenyl)-D,L-alaninyl)amino- $\epsilon$ -caprolactam

3-(S)-(N'-(cyclopropylacetyl)-L-phenylglycinyl)amino-1-methyl- $\epsilon$ -caprolactam

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3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-8-octanelactam

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- 3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 5 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1,5-dimethyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 3-(3,5-difluorophenylacetyl)amino-1,5-dimethyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 10 3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-5-oxa-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-ethyl-5-oxa-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 15 3-(S)-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-methyl-5-thia-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 20 5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}-amino-3,3-dimethyl-5,7-dihydro-6H-benz[b]azepin-6-one
- 5-{N'-(3,5-difluorophenylacetyl)-L-alaninyl}amino-3,3,7-trimethyl-5,7-dihydro-6H-benz[b]azepin-6-one
- 25 5-{N'-[(S)-3,5-difluoromandelyl]-L-alaninyl}amino-3,3,7-trimethyl-5,7-dihydro-6H-benz[b]azepin-6-one
- 1-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one
- 30 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-1-ethyl-5,5-dimethyl-1,3,4,5-tetrahydro-2H-1-benzazepin-2-one
- 5-(S)-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 35 5-(S)-[N'-((S) and (R)-3,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 40 5-(S)-[N'-(3,5-difluorophenyl- $\alpha$ -ketoacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(S)-[N'-(3,5-difluorophenylacetyl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 45 5-(S)-[N'-(3,5-difluorophenylacetyl)-L-*tert*-leucinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

RESEARCH "SECRET"

- 5-(S)-[N'-((S)-3,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5 5-(S)-[N'-((S)-3,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-*tert*-leucinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 10 5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(methoxyacetyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 15 5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(3,3-dimethyl-2-butanoyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 20 5-(S)-(N'-((S)-(+)-2-Hydroxy-3-methylbutyryl)-L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 25 5-[N'-cyclopentyl- $\alpha$ -hydroxyacetyl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 30 5-(S)-(N'-((S) and (R)-3,3-dimethyl-2-hydroxybutyryl)-L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 35 5-[N'-cyclopentyl- $\alpha$ -hydroxyacetyl)-L-*tert*-leucinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 40 5-[N'-cyclopentyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 45 5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-5,7-dihydro-6H,7H-dibenz[b,d]azepin-6-one
- 5-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-7-(2-methylpropyl)-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-[N'-(2-hydroxy-3-methylbutyryl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-(S)-[N'-((S) and (R)-2-hydroxy-3,3-dimethylbutyryl)-L-valinyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(4-phenyl-furazan-3-yl)alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

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3-(N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(2-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(4-isopropylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(ethoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(4-phenoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(4-ethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(2,5-dimethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(3,5-difluorobenzoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(o-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(3,3-diphenylpropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(3-phenoxypropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(indole-3-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(4-(4-methylphenoxy)acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(4-(hydroxymethyl)phenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(2-phenoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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TABLE OF CONTENTS

3-(N'-(3-phenoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

5

3-(N'-(3,4-dichlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(4-fluorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

10

3-(N'-(methylthio)acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

3-(N'-(methoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(phenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

20

(S)-3-(N'-(phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(2-phenoxybutyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(4-(trifluoromethyl)phenylacetyl)glycinyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(4-butoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(3-(2-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(4-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(isopropoxylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(1-phenyl-1H-tetrazole-5-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-(3,4-methylenedioxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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- (S)-3-(N'-(3-cyclopentylpropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 5 (S)-3-(N'-(2-cyclopentene-1-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-chloro-6-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 10 (S)-3-(N'-(cyclohexylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2,5-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 15 (S)-3-(N'-(pentafluorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3,5-dimethylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 20 (S)-3-(N'-(4-chlorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 (S)-3-(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 30 (S)-3-(N'-(benzoylformyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3,5-dimethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 (S)-3-(N'-(2,5-dimethylphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2,6-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 (S)-3-(N'-(2,4-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 45 (S)-3-(N'-(mesitylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(4-biphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3,4-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(trans-styrylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-benzoylpropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(trans-3-hexenoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(heptanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(3-(4-methylphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-(4-chlorophenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-phenylbutyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(4-(4-methoxyphenyl)butyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-methoxycarbonylpropionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(4-phenylbutyryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-(benzylthio)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-methylpentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(6-methoxycarbonylheptanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(2-indanylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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- (S)-3-(N'-(4-methoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 5 (S)-3-(N'-(2-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 10 (S)-3-(N'-(3-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(4-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 15 (S)-3-(N'-(2,6-difluoromandelyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(4-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 20 (S)-3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(m-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 (S)-3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 30 (S)-3-(N'-(4-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-naphthylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 (S)-3-(N'-(3-chlorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3-methylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 (S)-3-(N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 45 (S)-3-(N'-(2-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one







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- (S)-3-(N'-(2,3-dichlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 5 (S)-3-(N'-(3-(4-chlorobenzoyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 10 (S)-3-(N'-(2-(4-cyanophenoxy)-2-methyl propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-nitrophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 15 (S)-3-(N'-(4-(hydroxymethyl)phenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-fluoro-3-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 20 (S)-3-(N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(4-fluoro-2-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 (S)-3-(N'-(4,4,4-trifluorobutyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 30 (S)-3-(N'-(2-fluoro-4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(4-bromophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 (S)-3-(N'-(3-(4-fluorobenzoyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2-methylphenoxy)acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 (S)-3-(N'-(4-methoxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 45 (S)-3-(N'-(3-(phenylsulfonyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(2-methoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(2-bromophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(p-isopropyl phenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(4-pentenoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(4-hydroxyphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(4-oxopentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(2-hydroxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(3,4-dimethoxyphenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(3-(4-methoxybenzoyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(thiophene-3-acetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(6-phenylhexanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(isovaleryl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(2,4,5-trifluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

(S)-3-(N'-(1-adamantaneacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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(S)-3-(N'-(cyclohexanepentanoyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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- 5 (S)-3-(N'-(2-thiopheneacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3-(trifluoromethyl)phenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 10 (S)-3-(N'-(3,5-difluorophenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3-tolylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 15 (S)-3-(N'-(3-fluorophenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3-bromophenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 20 (S)-3-(N'-(3-chlorophenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3,4-methylenedioxyphenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 (S)-3-(N'-(phenylmercaptoacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(acetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 30 (S)-3-(N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(methylthio)acetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 (S)-3-(N'-(phenoxyacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 (S)-3-(N'-(phenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(cyclohexylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 45 (S)-3-(N'-(2,5-difluorophenylacetyl)-L-phenylglycinylo-amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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- (S)-3-(N'-(benzo[b]thiophene-3-acetyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 5 (S)-3-(N'-(benzoylformyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(2,6-difluorophenylacetyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 10 (S)-3-(N'-(2,4-difluorophenylacetyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(3,4-difluorophenylacetyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 15 (S)-3-(N'-(butyryl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(heptanoyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 20 (S)-3-(N'-(4-(2-thienyl)butyryl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 (S)-3-(N'-(5-methylhexanoyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(hydrocinnamyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 30 (S)-3-(N'-(cyclopentylacetyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- (S)-3-(N'-(propionyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 (S)-3-(N'-(3,4,5-trifluorophenylacetyl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 (S)-3-(N'-(4-phenylbutyryl)-L-phenylglyciny)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(2-thiopheneacetyl)-L-alaniny)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
- 45 3-(N'-(2-thiopheneacetyl)-L-alaniny)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one





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- 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
- 5 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
- 10 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 15 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 20 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 25 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
- 30 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 45 3-(N'-(methylthio)acetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(methylthio)acetyl)-L-alaninylamino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

5 3-(N'-(methylthio)acetyl)-L-alaninyl-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

3-(N'-(phenylacetyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one

10 3-(N'-(phenylacetyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one

15 3-(N'-(phenylacetyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(phenylacetyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

20 3-(N'-(phenylacetyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(phenylacetyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

25 3-(N'-(phenylacetyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(phenylacetyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

30 3-(N'-(phenylacetyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

35 3-(N'-(benzoylformyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(benzoylformyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one

40 3-(N'-(benzoylformyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(benzoylformyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

45 3-(N'-(benzoylformyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

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- 3-(N'-(benzoylformyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 5 3-(N'-(benzoylformyl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(benzoylformyl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 10 3-(N'-(benzoylformyl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-(N'-(butyryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
- 15 3-(N'-(butyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(butyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one
- 20 3-(N'-(butyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 3-(N'-(butyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(butyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 30 3-(N'-(butyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 35 3-(N'-(butyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(butyryl)-L-alaninyl)-amino-)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 40 3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one
- 45 3-(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one



3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one

5

3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

20

3-(N'-(3-(trifluoromethyl)butyryl)-L-alaninyl)-amino-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

25

3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one

30

3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

40

3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)-amino-)2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

5

3-(N'-(isovaleryl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(isovaleryl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(isovaleryl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(isovaleryl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

15

3-(N'-(isovaleryl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(isovaleryl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

20

3-(N'-(isovaleryl)-L-alaninyl)amino-5-cyclohexyl-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

25

3-(N'-(isovaleryl)-L-alaninyl)amino-7-bromo-5-(2-fluorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

3-(N'-(isovaleryl)-L-alaninyl)-amino-)2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

30

3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-2,3-dihydro-5-phenyl-1-(4,4,4-trifluorobutyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-1-(2-oxo-2-phenylethyl)-2,3-dihydro-5-phenyl-1H-1,4-benzodiazepin-2-one

35

3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-1-methyl-2,3-dihydro-5-(2-thiazolyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-7-chloro-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one

40

3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino-5-(2-thienyl)-2,3-dihydro-1-methyl-1H-1,4-benzodiazepin-2-one

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3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(1-methoxycarbonyl-1-phenylmethyl)-1H-1,4-benzodiazepin-2-one

5 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-ethylbutyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclohexylmethyl)-1H-1,4-benzodiazepin-2-one

10 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-phenylethyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-2-one

15 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-(N-phthalimidyl)ethyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-biphenylmethyl)-1H-1,4-benzodiazepin-2-one

20 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-((2-tetrahydrofuranyl)methyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-(1,4-benzodioxanyl)methyl)-1H-1,4-benzodiazepin-2-one

25 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-(5-chlorobenzo[b]thienyl)methyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethyl-2-oxo-propyl)-1H-1,4-benzodiazepin-2-one

30 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(5-benzofurazanylmethyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenoxypropyl)-1H-1,4-benzodiazepin-2-one

35 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(6-(2-trifluoromethylquinoliny)methyl)-1H-1,4-benzodiazepin-2-one

3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methylbutyl)-1H-1,4-benzodiazepin-2-one

40 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(ethyl)-1H-1,4-benzodiazepin-2-one

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- 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-pyridylmethyl)-1H-1,4-benzodiazepin-2-one
- 5 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-oxo-2-(N-indolinyl)ethyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-(3,5-dimethylisoxazolyl)methyl)-1H-1,4-benzodiazepin-2-one
- 10 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methoxyethyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(benzyl)-1H-1,4-benzodiazepin-2-one
- 15 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-tert-butylbenzyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-cyclohexylethyl)-1H-1,4-benzodiazepin-2-one
- 20 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethylbutyl)-1H-1,4-benzodiazepin-2-one
- 25 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(isopropyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(1-methoxycarbonyl-1-phenylmethyl)-1H-1,4-benzodiazepin-2-one
- 30 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-ethylbutyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclohexylmethyl)-1H-1,4-benzodiazepin-2-one
- 35 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-phenylethyl)-1H-1,4-benzodiazepin-2-one
- 40 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenylpropyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-(N-phthalimidyl)ethyl)-1H-1,4-benzodiazepin-2-one
- 45 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-biphenylmethyl)-1H-1,4-benzodiazepin-2-one

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- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-(5-chlorobenzo[b] thienyl)methyl)-1H-1,4-benzodiazepin-2-one
- 5 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethyl-2-oxo-butyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(5-benzofurazanylmethyl)-1H-1,4-benzodiazepin-2-one
- 10 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3-phenoxypropyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(6-(2-trifluoromethylquinolinyl)methyl)-1H-1,4-benzodiazepin-2-one
- 15 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(cyclopropylmethyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methylbutyl)-1H-1,4-benzodiazepin-2-one
- 20 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(ethyl)-1H-1,4-benzodiazepin-2-one
- 25 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-(3,5-dimethylisoxazolyl)methyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(propyl)-1H-1,4-benzodiazepin-2-one
- 30 3-(N'-(cyclopentylacetyl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-methoxyethyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(benzyl)-1H-1,4-benzodiazepin-2-one
- 35 3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(4-*tert*-butylbenzyl)-1H-1,4-benzodiazepin-2-one
- 3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(2-cyclohexylethyl)-1H-1,4-benzodiazepin-2-one
- 40 3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(3,3-dimethylbutyl)-1H-1,4-benzodiazepin-2-one
- 45 3-(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino-5-phenyl-2,3-dihydro-1-(isopropyl)-1H-1,4-benzodiazepin-2-one



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- 3-(N'-(L-(+)-mandelyl)-L-alaninyl-amino)-2,4-dioxo-1,5-bis-(2,2-dimethylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 5 (S)-3-(N'-(N-pyrrolidinylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(2-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 10 3-(N'-(2-thiopheneacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 15 3-(N'-(3-(trifluoromethyl)phenylacetic)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 20 3-(N'-(3-(4-methoxyphenyl)propionyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 25 3-(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(m-tolylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 30 3-(N'-(3-fluorophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(3-bromophenylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 35 3-(N'-(4-chlorophenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-(N'-(2-naphthylacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 40 3-(N'-(3-methylphenoxyacetyl)-L-alaninyl)amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 45 3-[(N'-(4-methoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(2-thiopheneacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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- 3-[(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 5 3-[(N'-(3-bromophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(phenylmercaptoacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[(N'-(4-ethoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[(N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(methylthio)acetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[(N'-(cyclohexylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[(N'-(pentafluorophenoxyacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 3-[(N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(4-biphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[(N'-(3,4-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[(N'-(5-methylhexanoyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 45 3-[(N'-(3-methoxycarbonylpropionyl)-L-alaninyl)amino]-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one









3-[(N'-(3-nitrophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

5

3-[(N'-(D-3-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-(3,3-dimethyl-2-oxobutyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(4-methoxyphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(2-thiopheneacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethylaminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(N"-acetyl-N"-phenylglycinyl)L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(3,5-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(3-bromophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(phenylmercaptoacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

25

3-[(N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

30

3-[(N'-(cyclohexylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(pentafluorophenoxyacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(benzoylformyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

40

3-[(N'-(3,4-difluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(4-(2-thienyl)butyryl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(5-methylhexanoyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

5

3-[(N'-(4-fluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(2,5-difluoromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

10

3-[(N'-(4,4,4-trifluorobutyryl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(4-isopropylphenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(beta-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[(N'-(mandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(4-chloromandelyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

25

3-[(N'-(isovaleryl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

30

3-[(N'-(3-methylthiopropionyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

35

3-[(N'-(L-alpha-hydroxyisocaproyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

3-[(N'-(3-nitrophenylacetyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethyl aminoethyl)- 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

40

3-[(N'-(D-3-phenyllactyl)-L-alaninyl)amino]-2,3-dihydro-1-(2-N,N-diethylaminoethyl) 5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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3-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

3-[N-(3,5-difluorophenylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

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- 3-[N-(3,5-difluorophenylacetyl)-L-alanyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 5 3-[N-(3,5-difluorophenylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 10 3-[N-(3,5-difluorophenylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 15 3-[N-(3,5-difluorophenylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-norvalinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 20 3-[N-(3,5-difluorophenylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 25 3-[N-(3,5-difluorophenylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-methioninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 30 3-[N-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 35 3-[N-(3,5-difluorophenylacetyl)-L-phenylalaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 40 3-[N-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 45 3-[N-(3,5-difluorophenylacetyl)-L-phenylglycinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine

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- 3-[N-(3,5-difluorophenylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 5 3-[N-(3,5-difluorophenylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 10 3-[N-(3,5-difluorophenylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 15 3-[N-(3,5-difluorophenylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 20 3-[N-(3,5-difluorophenylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 25 3-[N-(3,5-difluorophenylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(3,5-difluorophenylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 30 3-[N-(3,5-difluorophenylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 35 3-[N-(3,5-difluorophenylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(cyclopentylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 40 3-[N-(cyclopentylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(cyclopentylacetyl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 45 3-[N-(cyclopentylacetyl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine



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- 3-[N-(cyclopentylacetyl)-(2-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 5 3-[N-(cyclopentylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(cyclopentylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 10 3-[N-(cyclopentylacetyl)-(3-thienyl)glycine]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(cyclopentylacetyl)-L-serinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 15 3-[N-(cyclopentylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(cyclopentylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 20 3-[N-(cyclopentylacetyl)-L-threoninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 25 3-[N-(cyclopentylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(cyclopentylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 30 3-[N-(cyclopentylacetyl)-L-tyrosinyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(4,4,4-trifluorobutryl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 35 3-[N-(4,4,4-trifluorobutryl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 3-[N-(4,4,4-trifluorobutryl)-L-alaninyl]-amino-2,4-dioxo-1,5-bis-(cyclopropylmethyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 40 3-[N-(4,4,4-trifluorobutryl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(2-methylpropyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine
- 45 3-[N-(4,4,4-trifluorobutryl)-L-valinyl]-amino-2,4-dioxo-1,5-bis-(methyl)-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine











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- 3-[N'-(3-methyl-2-hydroxybutyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 5 3-[N'-(3,3-dimethylbutyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one
- 3-[N'-(thien-2-yl-acetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[N'-(3-bromophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-phenylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[N'-(4-ethoxyphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-trifluoromethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[N'-(3,5-di(trifluoromethyl)phenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-methylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 3-[N'-(2-cyclohexylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[N'-(2,3,4,5,6-pentafluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(thionaphth-3-ylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-phenylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 45 3-[N'-(3,4-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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- 3-[N'-(4-(thien-2-yl)butyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 5 3-[N'-(5-methylhexanoyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-methoxycarbonylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[N'-(2,6-difluorophenyl)- $\alpha$ -hydroxyacetyl]-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[N'-(4-fluorophenyl)- $\alpha$ -hydroxyacetyl]-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,5-difluorophenyl)- $\alpha$ -hydroxyacetyl]-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[N'-(2,4,6-trifluorophenyl)acetyl]-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-trifluoromethyl-4-fluorophenyl)acetyl]-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[N'-(4,4,4-trifluorobutyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-*iso*-propylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 3-[N'-(3-phenyl-2-hydroxypropionyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[N'-(phenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-chlorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[N'-(3-methylbutyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 45 3-[N'-(3-methylthiopropionyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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- 3-[N'-(3-methyl-2-hydroxybutyryl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 5 3-[N'-(3-nitrophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-methyl-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-methoxyphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[N'-(2-thienylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(3-bromophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[N'-(2-phenylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-ethoxyphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[N'-(4-trifluoromethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(3,5-di-(trifluoromethyl)phenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 3-[N'-(2-methylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[N'-(2-cyclomethylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,3,4,5,6-pentafluorophenoxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[N'-(thionaphth-3-ylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
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- 3-[N'-(4-phenylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 5 3-[N'-(3,4-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-(2-thienyl)butyryl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[N'-(5-methylhexanoyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[N'-(2-methoxycarbonylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,6-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[N'-(4-fluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-trifluoromethyl-4-fluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 one
- 3-[N'-(4,4,4-trifluorobutyryl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[N'-(4-*iso*-propylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(3-phenyl-2-hydroxypropionyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[N'-(phenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 45 3-[N'-(4-chlorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one

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- 3-[N'-(3-methylbutyryl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 5 3-[N'-(2,3,5-trifluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(3-methylthiopropionyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[N'-(3-methyl-2-hydroxybutyryl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(3-nitrophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(*tert*-butylcarbonylmethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[N'-(4-methoxyphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-thienylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[N'-(3,5-difluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(3-bromophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[N'-(2-phenylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 3-[N'-(4-ethoxyphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-methylthioacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[N'-(2-cyclohexylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2,3,4,5,6-pentafluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[N'-(2-thionaphth-3-ylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-phenyl-2-oxoacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 45



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- 3-[N'-(2,4,6-trimethylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 5 3-[N'-((4-phenyl)phenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-((3,4-difluorophenyl)acetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 10 3-[N'-((4-(thien-2-yl)butyryl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 15 3-[N'-(5-methylhexanoyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(2-methoxycarbonylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 20 3-[N'-(2,6-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-fluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 25 3-[N'-(2,5-difluorophenyl- $\alpha$ -hydroxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4-hydroxymethylphenyloxyacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 30 3-[N'-(2,4,6-trifluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 35 3-[N'-(2-trifluoromethyl-4-fluorophenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 3-[N'-(4,4,4-trifluorobutyryl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 40 3-[N'-(4-*iso*-propylphenylacetyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one
- 45 3-[N'-(3-phenyl-2-hydroxypropionyl)-L-alaninyl]amino-2,3-dihydro-1-(2-(N,N-diethylamino)ethyl)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one







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- 5-~~{N'-(3-benzoylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(2-chlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-pentenoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 10-~~{N'-(valeryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15-~~{N'-(2-thiophenecetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15-~~{N'-(4-(2-thienyl)butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20-~~{N'-(4-(4-nitrophenyl)butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20-~~{N'-(2,4-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(2,6-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(4-isopropylphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30-~~{N'-(1-adamantaneacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-(cyclohexanepentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-((methylthio)acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 40-~~{N'-(2-thiophenepentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 40-~~{N'-(2-norbornaneacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45-~~{N'-(3,5-difluorophenylacetyl)-4-ethylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~



REGISTRATION

- 5-{N'-(isovaleryl)-4-methylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5 5-{N'-(3-(trifluoromethyl)phenylacetyl)-4-methylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(4-fluorophenylacetyl)-4-methylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 10 5-{N'-(3,4-difluorophenylacetyl)-4-methylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(2,4-difluorophenylacetyl)-4-methylnorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 15 5-{N'-(3-fluorophenylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 20 5-{N'-(cyclopentylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(cyclohexylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 25 5-{N'-(cyclopropylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(isovaleryl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 30 5-{N'-(4-fluorophenylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(3,4-difluorophenylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 35 5-{N'-(2,4-difluorophenylacetyl)-4-cyclohexylhomoalaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 40 5-{N'-(3-fluorophenylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5-{N'-(cyclopentylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 45 5-{N'-(cyclohexylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(cyclopropylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5 5-{N'-(isovaleryl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(3-(trifluoromethyl)phenylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

10 5-{N'-(4-fluorophenylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(3,4-difluorophenylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

15 5-{N'-(2,4-difluorophenylacetyl)-6-fluoronorleucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(4-methoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

20 5-{N'-(3-(4-methoxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(1-naphthylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

25 5-{N'-(1-naphthylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(3,4-methylenedioxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

30 5-{N'-(hydrocinnamyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(octanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

35 5-{N'-(octanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(3-(3-hydroxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(3-(4-methylphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

40 5-{N'-(3-(4-methylphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

5-{N'-(3-(4-chlorophenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

45 5-{N'-(3-phenylbutyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

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- 5-~~{N'-(3-(4-hydroxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3,4,5-trifluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-(4-methoxyphenyl)butyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 10-~~{N'-(3-(methoxycarbonyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15-~~{N'-(4-phenylbutyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20-~~{N'-(3-(benzylthio)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20-~~{N'-(3-methylpentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(7-carbomethoxyheptanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(2-indanylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30-~~{N'-(5-carbomethoxypentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30-~~{N'-(2-methyl-3-Benzofuranacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-(propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-(3-methoxypropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 40-~~{N'-(3-(4-fluorophenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45-~~{N'-(3-(4-fluorophenoxy)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45-~~{N'-(3-pentenoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~





TABLE OF CONTENTS

- 5- $\{N'-(2,3,5\text{-trifluorophenylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(2,4,5\text{-trifluorophenylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(\text{vinylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 10 5- $\{N'-(3\text{-methylthiopropionyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 15 5- $\{N'-(3\text{-nitrophenylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(n\text{-tert-butylsuccinamyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 20 5- $\{N'-(4\text{-bromophenylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(3\text{-}(4\text{-fluorobenzoyl})\text{propionyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 25 5- $\{N'-(o\text{-chlorophenoxyacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(p\text{-tolylaceyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 30 5- $\{N'-(m\text{-tolylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 35 5- $\{N'-(3,4\text{-dichlorophenylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(4\text{-chlorophenoxyacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 40 5- $\{N'-(3\text{-methylphenoxyacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'-(4\text{-isopropylphenoxyacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 45 5- $\{N'-(4\text{-phenoxyphenylacetyl})\text{-L-alaninyl}\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

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TABLE OF CONTENTS

- 5-~~{N'-(phenylmercaptoacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5 5-~~{N'-(4-ethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(2,5-dimethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 10 5-~~{N'-(o-tolylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3,3-diphenylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15 5-~~{N'-(3-phenoxypropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20 5-~~{N'-(4-(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-(4-methylphenoxy)acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25 5-~~{N'-(2-phenoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3-phenoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30 5-~~{N'-(3,4-dichlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-fluorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35 5-~~{N'-(3,4,5-trimethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 40 5-~~{N'-(2,4-dichlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-thianaphthenacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45 5-~~{N'-(methoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~

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- 5-~~{N'-(ethoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(phenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3-methoxyphenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 10-~~5-~~{N'-(4-butoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 15-~~5-~~{N'-(3-(2-methoxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 20-~~5-~~{N'-(N,N-dimethylsuccinamyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 20-~~5-~~{N'-(3-(3,4-methylenedioxyphenyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 25-~~5-~~{N'-(2-chloro-6-fluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 25-~~5-~~{N'-(2,5-difluorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 30-~~5-~~{N'-(pentafluorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 30-~~5-~~{N'-(3,5-bis(trifluoromethyl)phenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 35-~~5-~~{N'-(3,5-dimethylphenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 40-~~5-~~{N'-(4-chlorophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 40-~~5-~~{N'-(3-chlorophenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 45-~~5-~~{N'-(benzo[b]thiophene-3-acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~
- 45-~~5-~~{N'-(3,5-dimethoxyphenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~~~



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- 5-~~{N'-(3-benzoylamino-3-phenyl-propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-(hydroxyimino)pentanoyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4'-methylglutaranilyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 10-~~{N'-(4-(4-ethyl-phenoxy)-phenoxy)-acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15-~~{N'-(3-Benzoyl-3-phenylpropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-(hydroxymethyl)phenoxyacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20-~~{N'-(4,4,4-trifluorobutyryl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3-isobutyrylamino-3-phenyl-propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(2-methylphenoxy)acetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3-(phenylsulfonyl)propionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30-~~{N'-(4-nitrophenylacetyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(3-ethoxypropionyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-(2,3-difluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 40-~~{N'-(2,6-difluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(4-fluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45-~~{N'-(2,5-difluoromandelyl)-L-alaninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~



- 5- $\{N'$ -(dl-beta-phenyllactyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(dl-mandelyl)-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(p-chloromandelyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(l-alpha-hydroxyisocaproyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(4-bromomandelyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(l-(+)-lactyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(d-3-phenylacetyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(5-methylhexanoyl)-L-alaninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(3,5-difluorophenylacetyl)-L-methioninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(3,5-difluorophenylacetyl)-L-2-phenylglycinyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(3,5-difluorophenylacetyl)-L-leucinyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(3,5-difluorophenylacetyl)-L-2-cyclohexylglycinyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(3,5-difluorophenylacetyl)-L-threoninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(3,5-difluorophenylacetyl)-L-alpha-(2-thienyl)glycinyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(2-thiopheneacetyl)-L-methioninyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one
- 5- $\{N'$ -(2-thiopheneacetyl)-L-2-phenylglycinyl $\}$ -amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

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- 5-~~{N'-(2-thiopheneacetyl)-L-leucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(2-thiopheneacetyl)-L-2-cyclohexylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 5-~~{N'-(2-thiopheneacetyl)-L-threoninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 10-~~{N'-(2-thiopheneacetyl)-L-alpha-(2-thienyl)glycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15-~~{N'-(isovaleryl)-L-methioninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 15-~~{N'-(isovaleryl)-L-2-phenylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 20-~~{N'-(isovaleryl)-L-leucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(isovaleryl)-L-2-cyclohexylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 25-~~{N'-(isovaleryl)-L-threoninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30-~~{N'-(isovaleryl)-L-alpha-(2-thienyl)glycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 30-~~{N'-(phenylacetyl)-L-methioninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-(phenylacetyl)-L-2-phenylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 35-~~{N'-(phenylacetyl)-L-leucinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 40-~~{N'-(phenylacetyl)-L-2-cyclohexylglycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45-~~{N'-(phenylacetyl)-L-threoninyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one~~
- 45-~~{N'-(phenylacetyl)-L-alpha-(2-thienyl)glycinyl}-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;~~

and pharmaceutically acceptable salts thereof.

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